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(54) **Novel 3,5-diphenyl substituted 1,2,4-triazoles and their use as insecticides and acaricides.**

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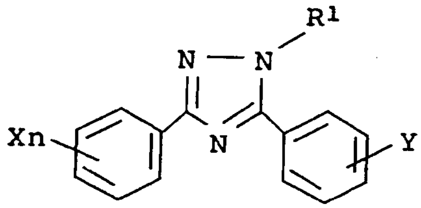
A novel triazole derivative for use in an insecticide or an acaricide has a general formula [I] :



[I]

(wherein R^1 is an alkyl group, X is a hydrogen atom, a halogen atom, an alkyl group or the like, n is an integer of 1-5, Y is an alkenyl group, an alkynyl group, an alkoxyalkyl group or the like) and controls various injurious insects and mites, particularly mites and aphids without damaging crops.

This invention relates to novel triazole derivatives as well as insecticide and acaricide containing the same as an active ingredient.

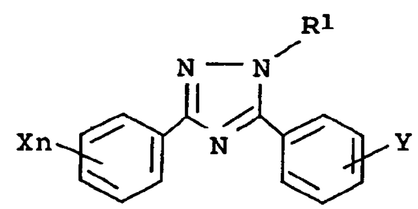
Japanese Patent laid open No. 56-154464 and DE-A-363-1511 disclose that various triazole derivatives develop insecticidal and acaricidal activities. However, it can not be said that the insecticidal and acaricidal activities of these compounds described in these specifications are satisfactory.

Up to the present, various compounds such as organophosphorus compound, organotin compound and the like have been used for the control of pests in farm and garden crops and mites. However, these compounds have been used over many years, so that the above injurious insects have a resistance to chemicals to a certain extent and it recently becomes difficult to control these insects. Particularly, this tendency is conspicuous in lepidopteran injurious insects, mites and aphids and becomes serious. As a result, it is demanded to develop new types of insecticide and acaricide having a different function.

The inventors have made various studies in order to create novel insecticides and acaricides having a very high effect against wide injurious pests and capable of safely using, which have never been found in the conventional technique, in the development of the insecticide and acaricide having a function different from that of the conventional ones.

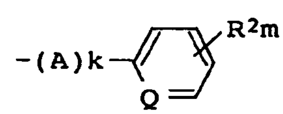
Further, the inventors have synthesized various triazole derivatives and examined their physiological activities. As a result, the inventors have found that novel triazole derivatives having a general formula [I] as mentioned later have an excellent effect against wide injurious pests in farm and garden crops, particularly lepidopteran injurious insects, mites and aphids and also develop a very high effect against eggs and larvae of mites and larvae of aphids having a resistance to the conventional chemicals, and the invention has been accomplished.

According to the invention, there is the provision of a triazole derivative having the following general formula [I]:



[I]

[wherein R^1 is an alkyl group, X is a hydrogen atom, a halogen atom, an alkyl group, an alkoxy group, an alkylthio group, a nitro group, a cyano group or a trifluoromethyl group, n is an integer of 1-5 provided that when n is 2 or more, X may be an optional combination of same or different atoms or groups, and Y is an alkenyl group, an alkynyl group, an alkoxyalkyl group, an alkoxyalkoxy group, an alkylthioalkyl group, a cycloalkyl group, a cycloalkylalkoxy group, a cycloalkylalkyl group, a cycloalkylalkenyl group, a cycloalkylalkynyl group, a trialkylsilylalkyl group, a trialkylsilylalkoxy group, an alkyl group having a carbon number of not less than 7, an alkoxy group having a carbon number of not less than 7, an alkylthio group having a carbon number of not less than 7, an alkylsulfinyl group having a carbon number of not less than 7, an alkylsulfonyl group having a carbon number of not less than 7 or a group represented by the following general formula (1):



(wherein A is an oxygen atom, a sulfur atom, a lower alkylene group, a lower alkyleneoxy group, an oxy-lower alkylene group or a lower alkyleneoxyalkylene group, k is 0 or 1, Q is CH- group or a nitrogen atom, R^2 is a hydrogen atom, a halogen atom, an alkyl group, an alkoxy group, trifluoromethyl group or trifluoromethoxy group, m is an integer of 1-5 provided that when m is 2 or more, R^2 may be an optional combination of same or different atoms or groups)].

Furthermore, the invention provides an insecticide or an acaricide containing the above triazole derivative as an active ingredient.

Throughout the specification, the term "lower" means that the carbon number in the group added with this term is not more than 6.

Further, the term "alkyl group" means a straight or branched-chain alkyl group having a carbon number of 1-30, which includes, for example, methyl group, ethyl group, n-propyl group, isopropyl group, n-butyl group, isobutyl group, sec-butyl group, t-butyl group, n-pentyl group, isoamyl group, neopentyl group, n-hexyl group, isohexyl group, 3,3-dimethylbutyl group, n-heptyl group, 5-methylhexyl group, 4-methylhexyl group, 3-methylhexyl group, 4,4-dimethylpentyl group, n-octyl group, 6-methylheptyl group, n-nonyl group, 7-methyloctyl group, n-decyl group, 8-methylnonyl group, n-undecyl group, 9-methyldecyl group, n-dodecyl group, 10-methylundecyl group, n-tridecyl group, 11-methyldodecyl group, n-tetradecyl group, 12-methyltridecyl group, n-pentadecyl group, 13-methyl-tetradecyl group, n-hexadecyl group, n-heptadecyl group, n-octadecyl group, n-nonadecyl group, n-eicosyl group and the like.

The terms "alkoxy group", "alkylthio group", "alkylsulfinyl group" and "alkylsulfonyl group" are (alkyl)-O-group, (alkyl)-S- group, (alkyl)-SO- group, and (alkyl)-SO_2 group in which the alkyl portion has the same meaning as mentioned above, respectively.

The term "halogen atom" means fluorine, chlorine, bromine and iodine.

The term "alkenyl group" means a straight or branched-chain alkenyl group having a carbon number of 2-20, which includes, for example, vinyl group, propenyl group, isopropenyl group, butenyl group, pentenyl group, hexenyl group, heptenyl group, octenyl group, 3-methyl-1-butenyl group, 4-methyl-1-pentenyl group and the like.

The term "alkynyl group" means a straight or branched-chain alkynyl group having a carbon number of 2-20, which includes, for example, ethynyl group, propynyl group, butynyl group, pentynyl group, hexynyl group, 3,3-dimethyl-1-butynyl group, 4-methyl-1-pentynyl group, 3-methyl-1-pentynyl group, 5-methyl-1-hexynyl group, 4-methyl-1-hexynyl group, 3-methyl-1-hexynyl group, heptynyl group, octynyl group, nonynyl group, decynyl group, undecynyl group, dodecynyl group, tridecynyl group, tetradecynyl group, pentadecynyl group, hexadecynyl group and the like.

The term "cycloalkyl group" means a cycloalkyl group having a carbon number of 3-12, which includes, for example, cyclopropyl group, cyclobutyl group, cyclopentyl group, cyclohexyl group, cycloheptyl group, cyclooctyl group and the like.

The term "cycloalkylalkyl group" means a cycloalkylalkyl group having a carbon number of 6-12, which includes, for example, cyclopentylmethyl group, cyclohexylmethyl group, cyclopentylethyl group, cyclohexylethyl group, cyclopentylpropyl group, cyclohexylpropyl group, cyclohexylpentyl group and the like.

The term "cycloalkylalkoxy group" means a (cycloalkylalkyl)-O- group in which the cycloalkylalkyl portion has the same meaning as mentioned above.

The term "cycloalkylalkenyl group" means a cycloalkylalkenyl group having a carbon number of 5-12, which includes, for example, cyclopentylvinyl group, cyclohexylvinyl group, 3-cyclopentyl-1-propenyl group, 3-cyclohexyl-1-propenyl group, 5-cyclohexyl-1-pentenyl group and the like.

The term "cycloalkylalkynyl group" means a cycloalkylalkynyl group having a carbon number of 5-12, which includes, for example, cyclopentylethynyl group, cyclohexylethynyl group, 3-cyclopentyl-1-propynyl group, 3-cyclohexyl-1-propynyl group and the like.

The term "tri(lower alkyl)silyl lower alkyl group" includes, for example, trimethylsilylmethyl group, dimethylethylsilylmethyl group, butyldimethylsilylmethyl group and the like.

The term "tri(lower alkyl)silyl lower alkoxy group" means [tri(lower alkyl)silyl lower alkyl]-O- group in which the tri(lower alkyl)silyl lower alkyl portion has the same meaning as mentioned above.

The term "lower alkylene group" means a straight or branched-chain alkylene group having a carbon number of 1-4, which includes, for example, $-CH_2-$, $-CH_2CH_2-$, $-CH(CH_3)-$, $-CH_2CH_2CH_2-$, $-CH(CH_3)CH_2-$, $-C(CH_3)_2-$, $-CH_2CH_2CH_2CH_2-$, $-CH(CH_3)CH_2CH_2-$, $-CH_2CH(CH_3)CH_2-$ and the like.

The term "lower alkyleneoxy group" means -(lower alkylene)-O- group in which the lower alkylene portion has the same meaning as mentioned above.

The term "oxy-lower alkylene group" means -O-(lower alkylene)- group in which the lower alkylene portion has the same meaning as mentioned above.

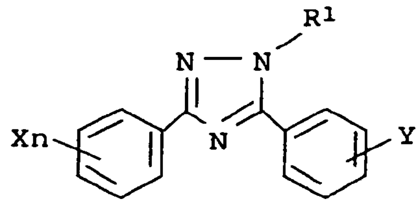
The term "lower alkyleneoxyalkylene group" means -(lower alkylene)-O-(lower alkylene)- group in which the lower alkylene portion has the same meaning as mentioned above.

As a preferable compound according to the invention, there are mentioned compounds having the general formula [I] wherein R^1 is a straight or branched-chain alkyl group having a carbon number of 1-6, preferably methyl group, X is a hydrogen atom, a halogen atom, a straight or branched-chain alkyl group having a carbon number of 1-4, a nitro group, a cyano group or trifluoromethyl group, n is an integer of 1-3 provided that when n is 2 or 3, X may be an optional combination of same or different atoms or groups, Y is a straight or branched-chain alkyl group having a carbon number of 7-20, a cycloalkyl group having a carbon number of 3-12, a cycloalkylalkyl group having a carbon number of 6-12, a straight or branched-chain alkoxy group having a carbon number of 7-16, a cycloalkylalkoxy group having a carbon number of 7-12, a straight or branched-chain alkylthio

group having a carbon number of 7-16, an alkylsulfinyl group, an alkylsulfonyl group, a straight or branched-chain alkenyl group having a carbon number of 3-16, a cycloalkylalkenyl group having a carbon number of 5-12, a straight or branched-chain alkynyl group having a carbon number of 3-16, a cycloalkylalkynyl group having a carbon number of 5-12, a tri(lower alkyl)silyl lower alkyl group, a tri(lower alkyl)silyl lower alkoxy group or a group represented by the formula (1) (wherein A is an oxygen atom, a sulfur atom, a lower alkylene group having a carbon number of 1-4, methyleneoxy group or oxymethylene group, k is 0 or 1, Q is CH- group or a nitrogen atom, R^2 is a hydrogen atom, a halogen atom, a lower alkyl group, a lower alkoxy group, trifluoromethyl group or trifluoromethoxy group, and m is an integer of 1-3 provided that when m is 2 or 3, R^2 may be an optional combination of same or different atoms or groups).

Concrete examples of the compounds having the general formula [I] according to the invention are shown in Tables 1 to 10. Moreover, the compound No. is referred in subsequent description.

Table 1



Compound No.	R^1	Xn	Y	Melting point (°C) or refractive index (n_D^{20})
1	CH_3	H	4-C_7H_{15}	1.5819
2	CH_3	2-F	4-C_7H_{15}	1.5650
3	CH_3	2-Cl	4-C_7H_{15}	1.5816
4	CH_3	2-Br	4-C_7H_{15}	1.5924
5	CH_3	2-I	4-C_7H_{15}	1.6025
6	CH_3	2,3,4,5,6-F_5	4-C_7H_{15}	1.5252
7	CH_3	2-CH_3	4-C_7H_{15}	1.5803
8	CH_3	2-OCH_3	4-C_7H_{15}	1.5840
9	CH_3	2-SCH_3	4-C_7H_{15}	1.6003
10	CH_3	2-CN	4-C_7H_{15}	50.0-53.5
11	CH_3	2-NO_2	4-C_7H_{15}	1.5780
12	CH_3	2-CF_3	4-C_7H_{15}	1.5407
13	CH_3	2-Cl	4-C_8H_{17}	1.5800
14	CH_3	2,6-F_2	4-C_8H_{17}	1.5532
15	CH_3	2-Cl,6-F	4-C_8H_{17}	1.5652
16	CH_3	2-Cl	4-C_9H_{19}	1.5766
17	CH_3	2-Cl,6-F	4-C_9H_{19}	1.5612
18	CH_3	2,6-F_2	4-C_9H_{19}	1.5518
19	CH_3	2,6-Cl_2	4-C_9H_{19}	1.5698
20	CH_3	2-F	4-$C_{10}H_{21}$	1.5595
21	CH_3	2-Cl	4-$C_{10}H_{21}$	1.5708
22	CH_3	2-Br	4-$C_{10}H_{21}$	1.5780
23	CH_3	2-I	4-$C_{10}H_{21}$	1.5875
24	CH_3	2-CH_3	4-$C_{10}H_{21}$	48.0-50.0

Table 2

Compound No.	R^1	Xn	Y	Melting point (°C) or refractive index (n_D^{20})
25	CH_3	2-OCH_3	4-$C_{10}H_{21}$	1.5649
26	CH_3	2-SCH_3	4-$C_{10}H_{21}$	
27	CH_3	2-CN	4-$C_{10}H_{21}$	37.0-40.0
28	CH_3	2-NO_2	4-$C_{10}H_{21}$	55.0-58.0
29	CH_3	2-CF_3	4-$C_{10}H_{21}$	56.0-57.0
30	CH_3	2-Cl,6-F	4-$C_{10}H_{21}$	1.5570
31	CH_3	2,6-F_2	4-$C_{10}H_{21}$	1.5482
32	CH_3	2,6-Cl_2	4-$C_{10}H_{21}$	1.5678
33	CH_3	2,4,6-F3	4-$C_{10}H_{21}$	1.5340
34	CH_3	2-Cl	4-$C_{11}H_{23}$	52.0-54.0
35	CH_3	2-Cl,6-F	4-$C_{11}H_{23}$	1.5495
36	CH_3	2,6-Cl_2	4-$C_{11}H_{23}$	58.0-60.0
37	CH_3	2,6-F_2	4-$C_{11}H_{23}$	1.5437
38	CH_3	2-Cl	4-$C_{12}H_{25}$	62.0-63.0
39	CH_3	2-Cl,6-F	4-$C_{12}H_{25}$	51.0-52.0
40	CH_3	2,6-F_2	4-$C_{12}H_{25}$	43.0-44.5
41	CH_3	2,6-Cl_2	4-$C_{12}H_{25}$	53.0-54.5
42	CH_3	2-Cl	4-$C_{13}H_{27}$	55.0-57.0
43	CH_3	2-Cl,6-F	4-$C_{13}H_{27}$	43.0-47.0
44	CH_3	2,6-F_2	4-$C_{13}H_{27}$	37.0-40.0
45	CH_3	2,6-Cl_2	4-$C_{13}H_{27}$	52.0-55.0
46	CH_3	2-Cl	4-$C_{14}H_{29}$	66.0-67.5
47	CH_3	2-Cl,6-F	4-$C_{14}H_{29}$	56.0-58.0
48	CH_3	2,6-F_2	4-$C_{14}H_{29}$	61.0-62.5
49	CH_3	2,6-Cl_2	4-$C_{14}H_{29}$	47.0-49.0
50	CH_3	2-Cl	4-$C_{15}H_{31}$	62.0-65.0
51	CH_3	2-Cl,6-F	4-$C_{15}H_{31}$	61.0-63.0
52	CH_3	2,6-F_2	4-$C_{15}H_{31}$	54.0-56.0

Table 3

Compound No.	R^1	Xn	Y	Melting point (°C) or refractive index (n_D^{20})
53	CH_3	2,6-Cl_2	4-$C_{15}H_{31}$	61.5-64.0
54	CH_3	2-Cl	4-$C_{16}H_{33}$	70.0-73.0
55	CH_3	2-Cl,6-F	4-$C_{16}H_{33}$	65.0-67.0
56	CH_3	2,6-F_2	4-$C_{16}H_{33}$	55.0-57.0
57	CH_3	2,6-Cl_2	4-$C_{16}H_{33}$	69.5-71.0
58	CH_3	2-Cl	4-$C_{17}H_{35}$	
59	CH_3	2-Cl,6-F	4-$C_{17}H_{35}$	
60	CH_3	2,6-F_2	4-$C_{17}H_{35}$	
61	CH_3	2-Cl	4-$C_{18}H_{37}$	
62	CH_3	2-Cl,6-F	4-$C_{18}H_{37}$	
63	CH_3	2,6-F_2	4-$C_{18}H_{37}$	
64	C_2H_5	2-Cl,6-F	4-$C_{12}H_{25}$	43.0-45.0
65	$CH(CH_3)_2$	2-Cl	4-$C_{12}H_{25}$	
66	$CH(CH_3)_2$	2-Cl,6-F	4-$C_{12}H_{25}$	63.0-66.0
67	CH_3	2-Cl	4-$CH_2CH_2CH_2CH_2CH(CH_3)_2$	64.0-67.0
68	CH_3	2-Cl,6-F	4-$CH_2CH_2CH_2CH_2CH(CH_3)_2$	1.5614
69	CH_3	2,6-F_2	4-$CH_2CH_2CH_2CH_2CH(CH_3)_2$	1.5578
70	CH_3	2-Cl	4-$CH_2CH_2CH_2CH(C_2H_5)CH_3$	1.5935
71	CH_3	2-Cl,6-F	4-$CH_2CH_2CH_2CH(C_2H_5)CH_3$	1.5759
72	CH_3	2-Cl	4-$CH_2CH_2CH(CH_3)CH_2CH_2CH_3$	1.5879
73	CH_3	2-Cl,6-F	4-$CH_2CH_2CH(CH_3)CH_2CH_2CH_3$	1.5693
74	CH_3	2-Cl	4-$CH_2CH_2CH_2C(CH_3)_3$	
75	CH_3	2-Cl,6-F	4-$CH_2CH_2CH_2C(CH_3)_3$	
76	CH_3	2,6-F_2	4-$CH_2CH_2CH_2C(CH_3)_3$	
77	CH_3	2-Cl	4-OC_8H_{17}	58.0-59.5
78	CH_3	2-Cl	4-$O(CH_2)_4CH(CH_3)_2$	
79	CH_3	2-Cl,6-F	4-$O(CH_2)_4CH(CH_3)_2$	

Table 4

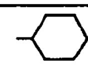
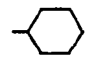
Compound No.	R^1	Xn	Y	Melting point (°C) or refractive index (n_D^{20})
80	CH_3	2-Cl	4-OCH_2 	83.0-86.0
81	CH_3	2-Cl,6-F	4-OCH_2 	83.0-85.0
82	CH_3	2-Cl	4-$OC_{10}H_{21}$	67.5-69.0
83	CH_3	2-Cl,6-F	4-$OC_{10}H_{21}$	55.0-57.0
84	CH_3	2,6-F_2	4-$OC_{10}H_{21}$	1.5399
85	CH_3	2,6-Cl_2	4-$OC_{10}H_{21}$	60.0-64.0
86	CH_3	2-Cl	4-$OC_{12}H_{25}$	73.5-75.0
87	CH_3	2-Cl,6-F	4-$OC_{12}H_{25}$	59.0-61.0
88	CH_3	2-Cl	4-SC_8H_{17}	1.6082
89	CH_3	2-Cl,6-F	4-SC_8H_{17}	61.0-63.0
90	CH_3	2-Cl	4-SOC_8H_{17}	1.5933
91	CH_3	2-Cl	4-$SO_2C_8H_{17}$	1.5855
92	CH_3	2-Cl	4-$OCH_2CH_2OCH_3$	1.6003
93	CH_3	2-Cl	4-$CH_2OC_4H_9$	1.5850
94	CH_3	2-Cl	4-$CH_2OC_{10}H_{21}$	
95	CH_3	2-Cl,6-F	4-$CH_2OC_{10}H_{21}$	
96	CH_3	2-Cl,6-F	4-$CH_2SC_3H_7$	1.6023
97	CH_3	2-Cl	4-$CH{=}CHCH_3$	1.6410
98	CH_3	2-Cl	4-$CH{=}CHC_{10}H_{21}$	
99	CH_3	2-Cl,6-F	4-$CH{=}CHC_{10}H_{21}$	
100	CH_3	2-Cl	4-$C{\equiv}CCH_3$	93.5-95.0
101	CH_3	2-Cl,6-F	4-$C{\equiv}CCH_3$	124.0-126.5
102	CH_3	2-Cl	2-$C{\equiv}CC_2H_5$	1.6249
103	CH_3	2-Cl	4-$C{\equiv}CC_2H_5$	1.6478
104	CH_3	2,6-F_2	4-$C{\equiv}CC_2H_5$	1.6158

Table 5

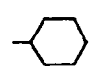
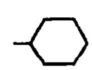
Compound No.	R^1	Xn	Y	Melting point (°C) or refractive index (n_D^{20})
105	CH_3	2-Cl,6-F	4-C≡CC_2H_5	1.6244
106	CH_3	2-Cl	3-C≡CC_3H_7	1.6265
107	CH_3	2-Cl	4-C≡CC_3H_7	1.5380
108	CH_3	2,6-F2	4-C≡CC_3H_7	1.6018
109	CH_3	2-Cl,6-F	4-C≡CC_3H_7	1.6175
110	CH_3	2-Cl	4-C≡C$CH_2CH(CH_3)_2$	82.0-84.0
111	CH_3	2-Cl	3-C≡CC_4H_9	1.6191
112	CH_3	2-Cl,6-F	3-C≡CC_4H_9	1.6121
113	CH_3	2-Cl	4-C≡CC_4H_9	1.6273
114	CH_3	2-Cl,6-F	4-C≡CC_4H_9	1.6110
115	CH_3	2,6-F_2	4-C≡CC_4H_9	
116	CH_3	2,6-Cl_2	4-C≡CC_4H_9	
117	CH_3	2-Cl	3-C≡CC_5H_{11}	1.6010
118	CH_3	2-Cl,6-F	3-C≡CC_5H_{11}	1.5947
119	CH_3	2-Cl	4-C≡CC_5H_{11}	1.6224
120	CH_3	2-Cl,6-F	4-C≡CC_5H_{11}	1.6052
121	CH_3	2,6-F_2	4-C≡CC_5H_{11}	
122	CH_3	2,6-Cl_2	4-C≡CC_5H_{11}	
123	CH_3	2-Cl,6-F	4-C≡CC_6H_{13}	
124	CH_3	2,6-F_2	4-C≡CC_6H_{13}	
125	CH_3	2,6-Cl_2	4-C≡CC_6H_{13}	
126	CH_3	2-Cl	4-C≡CC_8H_{17}	1.5852
127	CH_3	2-Cl,6-F	4-C≡CC_8H_{17}	60.5-64.0
128	CH_3	2-Cl	4 	79.5-82.0
129	CH_3	2-Cl	3-CH_2 	

Table 6

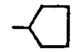
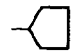
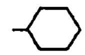
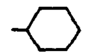
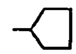
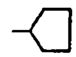
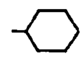
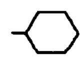
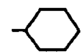
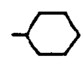
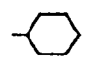
Compound No.	R^1	Xn	Y	Melting point (°C) or refractive index (n_D^{20})
130	CH_3	2-Cl	4-CH_2CH_2 	116.0-118.0
131	CH_3	2-Cl,6-F	4-CH_2CH_2 	88.5-90.0
132	CH_3	2-Cl	4-CH_2CH_2 	90.0-95.0
133	CH_3	2-Cl,6-F	4-CH_2CH_2 	105.0-108.0
134	CH_3	2-Cl	4-$(CH_2)_3$ 	65.0-69.0
135	CH_3	2-Cl,6-F	4-$(CH_2)_3$ 	53.0-57.0
136	CH_3	2-Cl	4-$(CH_2)_3$ 	118.0-121.0
137	CH_3	2-Cl,6-F	4-$(CH_2)_3$ 	100.0-103.0
138	CH_3	2-Cl	4-CH=CH 	
139	CH_3	2-Cl	4-C≡C 	104.0-107.0
140	CH_3	2-Cl,6-F	4-C≡C 	not measurable
141	CH_3	2-Cl	4-CH_2CH_2-Si$(CH_3)_3$	79.0-81.0
142	CH_3	2-Cl,6-F	4-CH_2CH_2-Si$(CH_3)_3$	1.5728
143	CH_3	2-Cl	4-O-CH_2-Si$(CH_3)_3$	55.0-57.0
144	CH_3	2-Cl,6-F	4-O-CH_2-Si$(CH_3)_3$	1.5730
145	C_2H_5	2-Cl,6-F	4-$C_{16}H_{33}$	56.0-59.0

Table 7

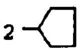
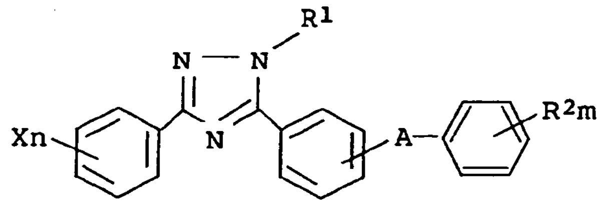
Compound No.	R^1	Xn	Y	Melting point (°C) or refractive index (n_D^{20})
146	CH_3	2,6-F_2	4-$CH_2CH_2CH_2$– 	not measurable
147	CH_3	2-Cl	4-C≡CCH(CH_3)$CH_2CH_2CH_3$	1.6171
148	CH_3	2-Cl	3-C_8H_{17}	1.5810
149	CH_3	2-Cl,6-F	3-C_8H_{17}	1.5586
150	CH_3	2-Cl	3-$CH_2CH_2C(CH_3)_3$	1.5803
151	CH_3	2-Cl,6-F	3-$CH_2CH_2C(CH_3)_3$	1.5499
152	CH_3	2-Cl	3-OC_8H_{17}	1.5789
153	CH_3	2-Cl,6-F	3-OC_8H_{17}	1.5559
154	CH_3	2-Cl,6-F	3-OC_7H_{15}	
155	CH_3	2,6-F_2	3-OC_7H_{15}	
156	CH_3	2-Cl,6-F	3-OC_9H_{19}	
157	CH_3	2,6-F_2	3-OC_9H_{19}	
158	CH_3	2-Cl,6-F	3-$OC_{10}H_{21}$	
159	CH_3	2,6-F_2	3-$OC_{10}H_{21}$	
160	CH_3	2-Cl,6-F	3-$OC_{11}H_{23}$	
161	CH_3	2,6-F_2	3-$OC_{11}H_{23}$	
162	CH_3	2-Cl	3-$OC_{12}H_{25}$	1.5624
163	CH_3	2-Cl,6-F	3-$OC_{12}H_{25}$	1.5491
164	CH_3	2,6-F_2	3-$OC_{12}H_{25}$	

Table 8



Compound No.	R^1	Xn	Substitution position	A	R^2m	Melting point (°C) or refractive index (n_D^{20})
165	CH_3	2-Cl	4-	-	H	152.0-154.5
166	CH_3	2,6-F_2	4-	-	4-C_3H_7	112.0-116.0
167	CH_3	2-Cl	4-	-	4-C_3H_7	111.5-114.0
168	CH_3	2-Cl,6-F	4-	-	4-C_3H_7	158.0-160.5
169	CH_3	2-Cl	4-	-	4-C_6H_{13}	112.0-114.0
170	CH_3	2-Cl,6-F	4-	-	4-C_6H_{13}	93.0-95.0
171	CH_3	2,6-F_2	4-	-	4-C_6H_{13}	96.0-98.0
172	CH_3	2,6-Cl_2	4-	-	4-C_6H_{13}	96.0-97.5
173	CH_3	2-Cl	4-	-	4-Cl	
174	CH_3	2-Cl	4-	-	4-OCH_3	137.0-141.0
175	CH_3	2-Cl	4-	-	3-CH_3	137.0-139.0
176	CH_3	2-Cl	4-	CH_2	H	68.0-71.0
177	CH_3	2-Cl,6-F	4-	CH_2	H	1.6248
178	CH_3	2-Cl	4-	CH_2	4-Cl	
179	CH_3	2-Cl,6-F	4-	CH_2	4-Cl	
180	CH_3	2-Cl	4-	CH_2	4-C_4H_9	
181	CH_3	2-Cl,6-F	4-	CH_2	4-C_4H_9	
182	CH_3	2-Cl	4-	CH_2CH_2	H	68.0-69.0
183	CH_3	2-Cl,6-F	4-	CH_2CH_2	H	160.0-162.0
184	CH_3	2-Cl	4-	CH_2O	H	99.0-102.0
185	CH_3	2-Cl,6-F	4-	CH_2O	H	103.0-106.0
186	CH_3	2-Cl	4-	OCH_2	H	83.0-87.0
187	CH_3	2-Cl,6-F	4-	OCH_2	H	143.0-153.0

Table 9

Compound No.	R^1	Xn	Substitution position	A	R^2m	Melting point (°C) or refractive index (n_D^{20})
188	CH_3	2-Cl	4-	CH_2OCH_2	H	87.0-92.0
189	CH_3	2-Cl,6-F	4-	CH_2OCH_2	H	93.0-98.0
190	CH_3	2-Cl	3-	O	H	1.6354
191	CH_3	2-Cl	4-	O	H	106.0-108.0
192	CH_3	2-Cl,6-F	4-	O	H	165.0-168.0
193	CH_3	2,6-F_2	4-	O	H	85.0-89.0
194	CH_3	2-Cl	4-	O	4-CH_3	not measurable
195	CH_3	2-Cl,6-F	4-	O	4-CH_3	not measurable
196	CH_3	2-Cl	4-	O	4-C_4H_9	
197	CH_3	2-Cl,6-F	4-	O	4-C_4H_9	
198	CH_3	2-Cl	4-	O	2-Cl	1.6388
199	CH_3	2-Cl,6-F	4-	O	2-Cl	1.6251
200	CH_3	2-Cl	4-	O	2-Cl,4-CF_3	
201	CH_3	2-Cl,6-F	4-	O	2-Cl,4-CF_3	
202	CH_3	2-Cl	4-	-	4-CH_3	151.0-154.0
203	CH_3	2-Cl,6-F	4-	-	4-CH_3	207.0-211.0
204	CH_3	2-Cl	4-	-	4-OCF_3	119.0-122.0
205	CH_3	2-Cl,6-F	4-	-	4-OCF_3	114.0-116.0
206	CH_3	2-Cl	4-	-	4-CF_3	155.0-159.0
207	CH_3	2-Cl,6-F	4-	-	4-CF_3	146.0-149.0
208	CH_3	2-Cl	4-	-	3,4-Cl_2	
209	CH_3	2-Cl,6-F	4-	-	3,4-Cl_2	
210	CH_3	2-Cl	4-	-	2,4-Cl_2	
211	CH_3	2-Cl,6-F	4-	-	2,4-Cl_2	
212	CH_3	2-Cl	4-	CH_2O	4-CH_3	135.0-138.0
213	CH_3	2-Cl,6-F	4-	CH_2O	4-CH_3	149.0-152.0
214	CH_3	2-Cl	4-	CH_2O	4-C_4H_9	
215	CH_3	2-Cl,6-F	4-	CH_2O	4-C_4H_9	

Table 10

Compound No.	R^1	Xn	Substitution position	A	R^2m	Melting point (°C) or refractive index (n_D^{20})
216	CH_3	2-Cl	4-	OCH_2	4-CH_3	108.0-110.0
217	CH_3	2-Cl,6-F	4-	OCH_2	4-CH_3	150.0-155.0
218	CH_3	2-Cl	4-	OCH_2	2,3,4,5,6-F_5	
219	CH_3	2-Cl,6-F	4-	OCH_2	2,3,4,5,6-F_5	
220	CH_3	2-Cl	4-	O	4-C_6H_{13}	1.6060
221	CH_3	2-Cl,6-F	4-	O	4-C_6H_{13}	1.5891
222	CH_3	2-Cl	4-	O	3,4-Cl_2	115.0-118.0
223	CH_3	2-Cl,6-F	4-	O	3,4-Cl_2	103.0-106.0
224	CH_3	2-Cl	4-	O	2,4-Cl_2	not measurable
225	CH_3	2-Cl,6-F	4-	O	2,4-Cl_2	not measurable
226	CH_3	2-Cl,6-F	4-	-	4-OCH_3	191.0-192.0
227	CH_3	2-Cl	4-	-	4-OC_4H_9	118.0-121.0
228	CH_3	2-Cl,6-F	4-	-	4-OC_4H_9	141.0-144.0
229	CH_3	2-Cl,6-F	4-	-	3-CH_3	131.0-134.0
230	CH_3	2-Cl,6-F	4-	-	4-Cl	105.0-107.0
231	CH_3	2-Cl	4-	CH_2CH_2	4-CH_3	95.0-97.0
232	CH_3	2-Cl,6-F	4-	CH_2CH_2	4-CH_3	188.0-192.0
233	CH_3	2-Cl	4-	O	3,5-Cl_2	105.0-108.0
234	CH_3	2-Cl,6-F	4-	O	3,5-Cl_2	121.0-123.0
235	CH_3	2-Cl,6-F	4-	O	4-Cl	not measurable

Table 11

Compound No.	R^1	Xn	Substitution position	A	R^2m	Melting point (°C) or refractive index (n_D^{20})
236	CH_3	2-Cl	3-	-	4-CF_3	
237	CH_3	2-Cl,6-F	3-	-	4-CF_3	
238	CH_3	2,6-F_2	3-	-	4-CF_3	
239	CH_3	2-Cl,6-F	3-	O	4-CF_3	not measurable
240	CH_3	2-Cl,6-F	3-	-	4-OCF_3	not measurable
241	CH_3	2-Cl,6-F	3-	O	4-OCF_3	
242	CH_3	2-Cl,6-F	3-	O	2-Cl,4-CF_3	
243	CH_3	2,6-F_2	4-	-	4-CF_3	
244	CH_3	2-Cl,6-F	4-	O	4-CF_3	114.0-117.0
245	CH_3	2-Cl,6-F	4-	CH_2O	4-CF_3	
246	CH_3	2-Cl,6-F	4-	O	4-OCF_3	101.0-102.0
247	CH_3	2-Cl,6-F	4-	O	3,4-F_2	95.0-99.0
248	CH_3	2-Cl	3-	O	4-CF_3	
249	CH_3	2,6-F_2	3-	O	4-CF_3	
250	CH_3	2-Cl	3-	S	4-CF_3	
251	CH_3	2,6-F_2	3-	S	4-CF_3	
252	CH_3	2-Cl,6-F	3-	S	4-CF_3	
253	CH_3	2,6-F_2	3-	O	4-OCF_3	
254	CH_3	2,6-F_2	3-	S	4-OCF_3	
255	CH_3	2-Cl	4-	O	4-CF_3	
256	CH_3	2,6-F_2	4-	O	4-CF_3	
257	CH_3	2-Cl,6-F	4-	O	4-CF_3	
258	CH_3	2,6-F_2	4-	-	4-OCF_3	
259	CH_3	2-Cl,6-F	3-	CH_2	4-CF_3	
260	CH_3	2,6-F_2	3-	CH_2	4-CF_3	
261	CH_3	2-Cl,6-F	4-	CH_2	4-CF_3	
262	CH_3	2,6-F_2	4-	CH_2	4-CF_3	
263	CH_3	2-Cl,6-F	3-	CH_2CH_2	4-CF_3	
264	CH_3	2,6-F_2	3-	CH_2CH_2	4-CF_3	

Table 12

Compound No.	R^1	Xn	Substitution position	A	R^2m	Melting point (°C) or refractive index (n_D^{20})
265	CH_3	2-Cl,6-F	4-	CH_2CH_2	4-CF_3	
266	CH_3	2,6-F_2	4-	CH_2CH_2	4-CF_3	
267	CH_3	2-Cl,6-F	3-	CH_2	4-OCF_3	
268	CH_3	2,6-F_2	3-	CH_2	4-OCF_3	
269	CH_3	2-Cl,6-F	4-	CH_2	4-OCF_3	
270	CH_3	2,6-F_2	4-	CH_2	4-OCF_3	
271	CH_3	2-Cl,6-F	3-	CH_2O	4-CF_3	
272	CH_3	2,6-F_2	3-	CH_2O	4-CF_3	
273	CH_3	2-Cl,6-F	4-	CH_2O	4-CF_3	
274	CH_3	2,6-F_2	4-	CH_2O	4-CF_3	
275	CH_3	2-Cl,6-F	3-	CH_2O	4-OCF_3	
276	CH_3	2,6-F_2	3-	CH_2O	4-OCF_3	
277	CH_3	2-Cl,6-F	4-	CH_2O	4-OCF_3	
278	CH_3	2,6-F_2	4-	CH_2O	4-OCF_3	
279	CH_3	2-Cl,6-F	3-	OCH_2	4-CF_3	
280	CH_3	2,6-F_2	3-	OCH_2	4-CF_3	
281	CH_3	2-Cl,6-F	4-	OCH_2	4-CF_3	
282	CH_3	2,6-F_2	4-	OCH_2	4-CF_3	
283	CH_3	2-Cl,6-F	3-	O	2-Cl,4-CF_3	
284	CH_3	2,6-F_2	3-	O	2-Cl,4-CF_3	
285	CH_3	2-Cl,6-F	3-	CH_2O	2-Cl,4-CF_3	
286	CH_3	2,6-F_2	3-	CH_2O	2-Cl,4-CF_3	
287	CH_3	2-Cl,6-F	4-	CH_2O	2-Cl,4-CF_3	
288	CH_3	2,6-F_2	4-	CH_2O	2-Cl,4-CF_3	
289	CH_3	2-Cl,6-F	3-	O	2,6-Cl_2,4-CF_3	
290	CH_3	2,6-F_2	3-	O	2,6-Cl_2,4-CF_3	
291	CH_3	2-Cl,6-F	4-	O	2,6-Cl_2,4-CF_3	
292	CH_3	2,6-F_2	4-	O	2,6-Cl_2,4-CF_3	
293	CH_3	2-Cl,6-F	3-	CH_2O	2,6-Cl_2,4-CF_3	

Table 13

Compound No.	R^1	Xn	Substitution position	A	R^2m	Melting point (°C) or refractive index (n_D^{20})
294	CH_3	2,6-F_2	3-	CH_2O	2,6-Cl_2,4-CF_3	
295	CH_3	2-Cl,6-F	4-	CH_2O	2,6-Cl_2,4-CF_3	
296	CH_3	2,6-F_2	4-	CH_2O	2,6-Cl_2,4-CF_3	
297	CH_3	2-Cl,6-F	3-	O	3,5-$(CF_3)_2$	
298	CH_3	2,6-F_2	3-	O	3,5-$(CF_3)_2$	
299	CH_3	2-Cl,6-F	4-	O	3,5-$(CF_3)_2$	
300	CH_3	2,6-F_2	4-	O	3,5-$(CF_3)_2$	
301	CH_3	2-Cl,6-F	3-	O	4-Cl,3-CF_3	
302	CH_3	2,6-F_2	3-	O	4-Cl,3-CF_3	
303	CH_3	2-Cl,6-F	4-	O	4-Cl,3-CF_3	
304	CH_3	2,6-F_2	4-	O	4-Cl,3-CF_3	
305	CH_3	2-Cl,6-F	3-	O	3-F,5-CF_3	
306	CH_3	2,6-F_2	3-	O	3-F,5-CF_3	
307	CH_3	2-Cl,6-F	4-	O	3-F,5-CF_3	
308	CH_3	2,6-F_2	4-	O	3-F,5-CF_3	
309	CH_3	2-Cl,6-F	3-	O	4-Br	
310	CH_3	2,6-F_2	3-	O	4-Br	
311	CH_3	2-Cl,6-F	4-	O	4-Br	
312	CH_3	2,6-F_2	4-	O	4-Br	
313	CH_3	2-Cl,6-F	4-	CH_2O	4-Br	
314	CH_3	2,6-F_2	4-	CH_2O	4-Br	
315	CH_3	2-Cl,6-F	4-	O	2,4,6-Br_3	
316	CH_3	2,6-F_2	4-	O	2,4,6-Br_3	
317	CH_3	2-Cl,6-F	4-	CH_2O	2,4,6-Br_3	
318	CH_3	2,6-F_2	4-	CH_2O	2,4,6-Br_3	
319	CH_3	2-Cl,6-F	4-	O	2,4-Br_2	
320	CH_3	2,6-F_2	4-	O	2,4-Br_2	
321	CH_3	2-Cl,6-F	4-	CH_2O	2,4-Br_2	
322	CH_3	2,6-F_2	4-	CH_2O	2,4-Br_2	

Table 14

Compound No.	R^1	Xn	Substitution position	A	R^2m	Melting point (°C) or refractive index (n_D^{20})
323	CH_3	2-Cl,6-F	4-	O	4-Br,3,5-$(CH_3)_2$	
324	CH_3	2,6-F_2	4-	O	4-Br,3,5-$(CH_3)_2$	
325	CH_3	2-Cl,6-F	4-	CH_2O	4-Br,3,5-$(CH_3)_2$	
326	CH_3	2,6-F_2	4-	CH_2O	4-Br,3,5-$(CH_3)_2$	
327	CH_3	2-Cl,6-F	4-	O	4-Br,3-CH_3	
328	CH_3	2,6-F_2	4-	O	4-Br,3-CH_3	
329	CH_3	2-Cl,6-F	4-	CH_2O	4-Br,3-CH_3	
330	CH_3	2,6-F_2	4-	CH_2O	4-Br,3-CH_3	
331	CH_3	2-Cl,6-F	4-	O	3-Cl,4-F	
332	CH_3	2,6-F_2	4-	O	3-Cl,4-F	
333	CH_3	2-Cl,6-F	4-	CH_2O	3-Cl,4-F	
334	CH_3	2,6-F_2	4-	CH_2O	3-Cl,4-F	
335	CH_3	2-Cl,6-F	4-	O	2,6-Cl_2,5-CF_3	
336	CH_3	2,6-F_2	4-	O	2,6-Cl_2,5-CF_3	
337	CH_3	2-Cl,6-F	4-	CH_2O	2,6-Cl_2,5-CF_3	
338	CH_3	2,6-F_2	4-	CH_2O	2,6-Cl_2,5-CF_3	
339	CH_3	2-Cl,6-F	4-	O	3,4,5-F_3	
340	CH_3	2,6-F_2	4-	O	3,4,5-F_3	
341	CH_3	2-Cl,6-F	4-	CH_2O	3,4,5-F_3	
342	CH_3	2,6-F_2	4-	CH_2O	3,4,5-F_3	
343	CH_3	2-Cl,6-F	4-	O	4-F,2-CF_3	
344	CH_3	2,6-F_2	4-	O	4-F,2-CF_3	
345	CH_3	2-Cl,6-F	4-	CH_2O	4-F,2-CF_3	
346	CH_3	2,6-F_2	4-	CH_2O	4-F,2-CF_3	
347	CH_3	2-Cl,6-F	4-	CH_2O	3,4-Cl_2	
348	CH_3	2,6-F_2	4-	CH_2O	3,4-Cl_2	
349	CH_3	2-Cl,6-F	4-	CH_2O	2,4-Cl_2	
350	CH_3	2,6-F_2	4-	CH_2O	2,4-Cl_2	
351	CH_3	2-Cl,6-F	4-	O	2,4,5-Cl_3	

Table 15

Compound No.	R^1	Xn	Substitution position	A	R^2m	Melting point (°C) or refractive index (n_D^{20})
352	CH_3	2,6-F_2	4-	O	2,4,5-Cl_3	
353	CH_3	2-Cl,6-F	4-	CH_2O	2,4,5-Cl_3	
354	CH_3	2,6-F_2	4-	CH_2O	2,4,5-Cl_3	
355	CH_3	2-Cl,6-F	4-	O	2,4,6-Cl_3	
356	CH_3	2,6-F_2	4-	O	2,4,6-Cl_3	
357	CH_3	2-Cl,6-F	4-	CH_2O	2,4,6-Cl_3	
358	CH_3	2,6-F_2	4-	CH_2O	2,4,6-Cl_3	
359	CH_3	2-Cl,6-F	4-	O	4-Cl,3-CH_3	
360	CH_3	2,6-F_2	4-	O	4-Cl,3-CH_3	
361	CH_3	2-Cl,6-F	4-	CH_2O	4-Cl,3-CH_3	
362	CH_3	2,6-F_2	4-	CH_2O	4-Cl,3-CH_3	
363	CH_3	2-Cl,6-F	4-	O	4-Cl,3,5-$(CH_3)_2$	
364	CH_3	2,6-F_2	4-	O	4-Cl,3,5-$(CH_3)_2$	
365	CH_3	2-Cl,6-F	4-	CH_2O	4-Cl,3,5-$(CH_3)_2$	
366	CH_3	2,6-F_2	4-	CH_2O	4-Cl,3,5-$(CH_3)_2$	
367	CH_3	2-Cl,6-F	4-	O	4-Cl,3-C_2H_5	
368	CH_3	2,6-F_2	4-	O	4-Cl,3-C_2H_5	
369	CH_3	2-Cl,6-F	4-	CH_2O	4-Cl,3-C_2H_5	
370	CH_3	2,6-F_2	4-	CH_2O	4-Cl,3-C_2H_5	
371	CH_3	2-Cl,6-F	4-	O	4-Cl,3-F	
372	CH_3	2,6-F_2	4-	O	4-Cl,3-F	
373	CH_3	2-Cl,6-F	4-	CH_2O	4-Cl,3-F	
374	CH_3	2,6-F_2	4-	CH_2O	4-Cl,3-F	
375	CH_3	2-Cl,6-F	4-	O	4-Cl,2-F	
376	CH_3	2,6-F_2	4-	O	4-Cl,2-F	
377	CH_3	2-Cl,6-F	4-	CH_2O	4-Cl,2-F	
378	CH_3	2,6-F_2	4-	CH_2O	4-Cl,2-F	
379	CH_3	2-Cl,6-F	4-	OCH_2	4-Cl	
380	CH_3	2,6-F_2	4-	OCH_2	4-Cl	

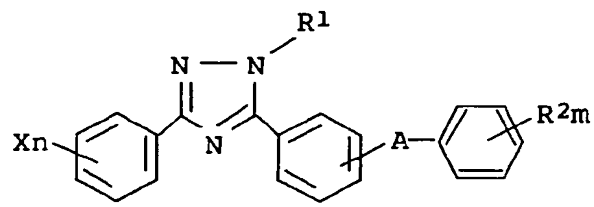
Table 16

Compound No.	R^1	Xn	Substitution position	A	R^2m	Melting point (°C) or refractive index (n_D^{20})
381	CH_3	2-Cl,6-F	4-	OCH_2	3,4-Cl_2	
382	CH_3	2,6-F_2	4-	OCH_2	3,4-Cl_2	
383	CH_3	2-Cl,6-F	4-	OCH_2	2,4-Cl_2	
384	CH_3	2,6-F_2	4-	OCH_2	2,4-Cl_2	
385	CH_3	2-Cl,6-F	4-	OCH_2	4-F	
386	CH_3	2,6-F_2	4-	OCH_2	4-F	
387	CH_3	2-Cl,6-F	4-	OCH_2	3,4-F_2	
388	CH_3	2,6-F_2	4-	OCH_2	3,4-F_2	
389	CH_3	2-Cl,6-F	4-	OCH_2	2,4-F_2	
390	CH_3	2,6-F_2	4-	OCH_2	2,4-F_2	
391	CH_3	2-Cl,6-F	4-	OCH_2	4-Br	
392	CH_3	2,6-F_2	4-	OCH_2	4-Br	
393	CH_3	2-Cl,6-F	4-	OCH_2	4-F,3-CF_3	
394	CH_3	2,6-F_2	4-	OCH_2	4-F,3-CF_3	
395	CH_3	2-Cl,6-F	4-	OCH_2	4-OCF_3	
396	CH_3	2,6-F_2	4-	OCH_2	4-OCF_3	
397	CH_3	2-Cl,6-F	4-	OCH_2	3-OCF_3	
398	CH_3	2,6-F_2	4-	OCH_2	3-OCF_3	
399	CH_3	2-Cl,6-F	4-	OCH_2	3,4,5-F_3	
400	CH_3	2,6-F_2	4-	OCH_2	3,4,5-F_3	
401	CH_3	2-Cl,6-F	4-	OCH_2	2,4-$(CF_3)_2$	
402	CH_3	2,6-F_2	4-	OCH_2	2,4-$(CF_3)_2$	
403	CH_3	2-Cl,6-F	4-	OCH_2	2-F,4-CF_3	
404	CH_3	2,6-F_2	4-	OCH_2	2-F,4-CF_3	
405	CH_3	2-Cl,6-F	4-	OCH_2	4-F,2-CF_3	
406	CH_3	2,6-F_2	4-	OCH_2	4-F,2-CF_3	
407	CH_3	2,6-F_2	3-	-	4-OCF_3	
408	CH_3	2-Cl,6-F	4-	-	4-Cl,2-CH_3	
409	CH_3	2,6-F_2	4-	-	4-Cl,2-CH_3	

Table 17

Compound No.	R^1	Xn	Substitution position	A	R^2m	Melting point (°C) or refractive index (n_D^{20})
410	CH_3	2-Cl,6-F	4-	-	3,5-Cl_2	
411	CH_3	2,6-F_2	4-	-	3,5-Cl_2	
412	CH_3	2-Cl,6-F	4-	-	3-Cl,4-F	
413	CH_3	2,6-F_2	4-	-	3-Cl,4-F	
414	CH_3	2-Cl,6-F	4-	-	2-Cl,4-CF_3	
415	CH_3	2,6-F_2	4-	-	2-Cl,4-CF_3	
416	CH_3	2-Cl,6-F	4-	-	2,4,6-Cl_3	
417	CH_3	2,6-F_2	4-	-	2,4,6-Cl_3	
418	CH_3	2-Cl,6-F	4-	-	2,4-F_2	
419	CH_3	2,6-F_2	4-	-	2,4-F_2	
420	CH_3	2-Cl,6-F	4-	-	3,4-F_2	
421	CH_3	2,6-F_2	4-	-	3,4-F_2	
422	CH_3	2-Cl,6-F	4-	-	2,4-$(CF_3)_2$	
423	CH_3	2,6-F_2	4-	-	2,4-$(CF_3)_2$	

Table 18



Compound No.	R^1	Xn	Substitution position	A	R^2m	Melting point (°C) or refractive index (n_D^{20})
424	CH_3	2-Cl	4-	O	H	
425	CH_3	2,6-F_2	4-	O	H	122.0-127.0
426	CH_3	2-Cl	4-	O	5-CF_3	107.0-109.0
427	CH_3	2-Cl,6-F	4-	O	5-CF_3	94.0-96.0
428	CH_3	2-Cl	4-	O	3-Cl,5-CF_3	not measurable
429	CH_3	2-Cl,6-F	4-	O	3-Cl,5-CF_3	not measurable
430	CH_3	2-Cl	4-	S	3-Cl,5-CF_3	127.0-131.0
431	CH_3	2-Cl	4-	CH_2O	H	
432	CH_3	2-Cl,6-F	4-	CH_2O	H	
433	CH_3	2-Cl,6-F	2-	O	5-CF_3	126.0-129.0
434	CH_3	2-Cl,6-F	3-	O	H	not measurable
435	CH_3	2-Cl,6-F	3-	O	5-Cl	not measurable
436	CH_3	2,6-F_2	3-	O	5-Cl	
437	CH_3	2-Cl,6-F	3-	O	6-Cl	124.0-127.0
438	CH_3	2,6-F_2	3-	O	6-Cl	
439	CH_3	2-Cl,6-F	3-	O	4-CH_3	
440	CH_3	2-Cl,6-F	3-	O	5-CH_3	not measurable
441	CH_3	2-Cl,6-F	3-	O	6-CH_3	not measurable
442	CH_3	2-Cl,6-F	3-	O	4-C_2H_5	
443	CH_3	2-Cl,6-F	3-	O	6-C_3H_7	
444	CH_3	2-Cl	3-	O	3-CF_3	not measurable
445	CH_3	2-Cl,6-F	3-	O	3-CF_3	122.0-124.0
446	CH_3	2,6-F_2	3-	O	3-CF_3	
447	CH_3	2-Cl,6-F	3-	O	4-CF_3	1.5820

Table 19

Compound No.	R^1	Xn	Substitution position	A	R^2m	Melting point (°C) or refractive index (n_D^{20})
448	CH_3	2,6-F_2	3-	O	4-CF_3	
449	CH_3	2-Cl,6-F	3-	O	5-CF_3	
450	CH_3	2-Cl	3-	O	5-CF_3	not measurable
451	CH_3	2-Cl,6-F	3-	O	5-CF_3	65.0-68.0
452	CH_3	2,6-F_2	3-	O	5-CF_3	not measurable
453	CH_3	2,6-Cl_2	3-	O	5-CF_3	
454	CH_3	2-Cl,6-F	3-	S	5-CF_3	82.0-86.0
455	CH_3	2-Cl,6-F	3-	CH_2	5-CF_3	
456	CH_3	2-Cl	3-	CH_2O	5-CF_3	
457	CH_3	2-Cl,6-F	3-	CH_2O	5-CF_3	
458	CH_3	2,6-F_2	3-	CH_2O	5-CF_3	
459	CH_3	2,6-Cl_2	3-	CH_2O	5-CF_3	
460	CH_3	2-Cl,6-F	3-	C_2H_4O	5-CF_3	
461	CH_3	2-Cl,6-F	3-	O	6-CF_3	98.0-102.0
462	CH_3	2,6-F_2	3-	O	6-CF_3	
463	CH_3	2-Cl,6-F	3-	O	5-Cl,3-CF_3	
464	CH_3	2,6-F_2	3-	O	5-Cl,3-CF_3	
465	CH_3	2-Cl	3-	O	5-Cl,3-CF_3	71.0-73.0
466	CH_3	2-Cl,6-F	3-	O	5-Cl,3-CF_3	109.0-111.0
467	CH_3	2,6-F_2	3-	O	5-Cl,3-CF_3	
468	CH_3	2-Cl	3-	O	3-Cl,5-CF_3	not measurable
469	CH_3	2-Cl,6-F	3-	O	3-Cl,5-CF_3	not measurable
470	CH_3	2,6-F_2	3-	O	3-Cl,5-CF_3	
471	CH_3	2-Cl,6-F	3-	O	3,5-$(CF_3)_2$	91.0-95.0
472	CH_3	2,6-F_2	3-	O	3,5-$(CF_3)_2$	
473	CH_3	2-Cl,6-F	3-	O	6-Cl,5-CF_3	not measurable
474	CH_3	2,6-F_2	3-	O	6-Cl,5-CF_3	
475	CH_3	2-Cl,6-F	3-	O	4,5-$(CF_3)_2$	122.0-126.0
476	CH_3	2,6-F_2	3-	O	4,5-$(CF_3)_2$	

Table 20

Compound No.	R^1	Xn	Substitution position	A	R^2m	Melting point (°C) or refractive index (n_D^{20})
477	CH_3	2-Cl,6-F	3-	O	6-Cl,4-CF_3	not measurable
478	CH_3	2,6-F_2	3-	O	6-Cl,4-CF_3	
479	CH_3	2-Cl,6-F	3-	O	4,6-$(CF_3)_2$	1.5453
480	CH_3	2,6-F_2	3-	O	4,6-$(CF_3)_2$	
481	CH_3	2-Cl,6-F	3-	O	6-CH_3,4-CF_3	121.0-123.0
482	CH_3	2,6-F_2	3-	O	6-CH_3,4-CF_3	
483	CH_3	2-Cl,6-F	4-	O	5-Cl	136.0-139.0
484	CH_3	2,6-F_2	4-	O	5-Cl	
485	CH_3	2-Cl,6-F	4-	O	6-Cl	134.0-136.0
486	CH_3	2,6-F_2	4-	O	6-Cl	
487	CH_3	2-Cl,6-F	4-	O	4-CH_3	136.0-140.0
488	CH_3	2-Cl,6-F	4-	O	4-C_2H_5	
489	CH_3	2-Cl,6-F	4-	O	5-CH_3	154.0-157.0
490	CH_3	2-Cl,6-F	4-	O	6-CH_3	not measurable
491	CH_3	2-Cl,6-F	4-	O	6-C_3H_7	
492	CH_3	2-Cl,6-F	4-	O	3-CF_3	158.0-159.9
493	CH_3	2,6-F_2	4-	O	3-CF_3	
494	CH_3	2-Cl,6-F	4-	O	4-CF_3	110.0-114.0
495	CH_3	2,6-F_2	4-	O	4-CF_3	
496	CH_3	2-Cl,6-F	4-	-	5-CF_3	
497	CH_3	2,6-F_2	4-	-	5-CF_3	
498	C_2H_5	2-Cl,6-F	4-	O	5-CF_3	not measurable
499	$CH(CH_3)_2$	2-Cl,6-F	4-	O	5-CF_3	not measurable
500	CH_3	2,6-F_2	4-	O	5-CF_3	127.0-131.0
501	CH_3	2,6-Cl_2	4-	O	5-CF_3	127.0-130.0
502	C_6H_{13}	2-Cl,6-F	4-	O	5-CF_3	1.5573
503	CH_3	2-Cl	4-	S	5-CF_3	not measurable
504	CH_3	2-Cl,6-F	4-	S	5-CF_3	111.0-115.0
505	CH_3	2,6-F_2	4-	S	5-CF_3	

Table 21

Compound No.	R^1	Xn	Substitution position	A	R^2m	Melting point (°C) or refractive index (n_D^{20})
506	CH_3	2,6-F_2	4-	S	5-CF_3	
507	CH_3	2-Cl,6-F	4-	CH_2	5-CF_3	
508	CH_3	2,6-F_2	4-	CH_2	5-CF_3	
509	CH_3	2-Cl	4-	CH_2O	5-CF_3	
510	CH_3	2-Cl,6-F	4-	CH_2O	5-CF_3	1.5859
511	CH_3	2,6-F_2	4-	CH_2O	5-CF_3	
512	CH_3	2,6-Cl_2	4-	CH_2O	5-CF_3	
513	CH_3	2-Cl,6-F	4-	C_2H_4O	5-CF_3	
514	CH_3	2-Cl,6-F	4-	O	6-CF_3	97.0-101.0
515	CH_3	2-Cl,6-F	4-	O	3,5-Cl_2	
516	CH_3	2-Cl,6-F	4-	O	5-Cl,3-CF_3	not measurable
517	CH_3	2,6-F_2	4-	O	5-Cl,3-CF_3	
518	CH_3	2-Cl,6-F	4-	S	3-Cl,5-CF_3	not measurable
519	CH_3	2,6-F_2	4-	S	3-Cl,5-CF_3	
520	CH_3	2-Cl,6-F	4-	CH_2O	3-Cl,5-CF_3	1.5778
521	CH_3	2,6-F_2	4-	CH_2O	3-Cl,5-CF_3	
522	CH_3	2-Cl,6-F	4-	O	3,5-$(CF_3)_2$	85.0-89.0
523	CH_3	2,6-F_2	4-	O	3,5-$(CF_3)_2$	
524	CH_3	2-Cl,6-F	4-	O	6-Cl,5-CF_3	108.0-112.0
525	CH_3	2,6-F_2	4-	O	6-Cl,5-CF_3	
526	CH_3	2-Cl,6-F	4-	O	4,5-$(CF_3)_2$	158.0-160.0
527	CH_3	2,6-F_2	4-	O	4,5-$(CF_3)_2$	
528	CH_3	2-Cl,6-F	4-	O	6-Cl,4-CF_3	not measurable
529	CH_3	2,6-F_2	4-	O	6-Cl,4-CF_3	
530	CH_3	2-Cl,6-F	4-	O	4,6-$(CF_3)_2$	125.0-129.0
531	CH_3	2,6-F_2	4-	O	4,6-$(CF_3)_2$	
532	CH_3	2-Cl,6-F	4-	O	6-CH_3,4-CF_3	98.0-101.0
533	CH_3	2,6-F_2	4-	O	6-CH_3,4-CF_3	

Table 22

Compound No.	R^1	Xn	Substitution position	A	R^2m	Melting point (°C) or refractive index (n_D^{20})
534	CH_3	2,6-F_2	3-	-	5-CF_3	
535	CH_3	2,6-F_2	3-	S	5-CF_3	
536	CH_3	2,6-F_2	3-	CH_2	5-CF_3	
537	CH_3	2,6-Cl_2	3-	O	3-Cl,5-CF_3	
538	CH_3	2-Cl	3-	S	3-Cl,5-CF_3	
539	CH_3	2-Cl,6-F	3-	S	3-Cl,5-CF_3	
540	CH_3	2,6-F_2	3-	S	3-Cl,5-CF_3	
541	CH_3	2,6-Cl_2	3-	S	3-Cl,5-CF_3	
542	CH_3	2-Cl	3-	CH_2O	3-Cl,5-CF_3	
543	CH_3	2-Cl,6-F	3-	CH_2O	3-Cl,5-CF_3	
544	CH_3	2,6-F_2	3-	CH_2O	3-Cl,5-CF_3	
545	CH_3	2,6-Cl_2	3-	CH_2O	3-Cl,5-CF_3	
546	CH_3	2-Cl,6-F	3-	CH_2O	3,5-$(CF_3)_2$	
547	CH_3	2,6-F_2	3-	CH_2O	3,5-$(CF_3)_2$	
548	CH_3	2-Cl,6-F	3-	CH_2O	4,5-$(CF_3)_2$	
549	CH_3	2,6-F_2	3-	CH_2O	4,5-$(CF_3)_2$	
550	CH_3	2-Cl,6-F	3-	CH_2O	4,6-$(CF_3)_2$	
551	CH_3	2,6-F_2	3-	CH_2O	4,6-$(CF_3)_2$	
552	CH_3	2-Cl,6-F	3-	CH_2O	6-CH_3,4-CF_3	
553	CH_3	2,6-F_2	3-	CH_2O	6-CH_3,4-CF_3	
554	CH_3	2-Cl,6-F	3-	CH_2O	5-Cl	
555	CH_3	2,6-F_2	3-	CH_2O	5-Cl	
556	CH_3	2-Cl,6-F	3-	CH_2O	5-CH_3	
557	CH_3	2,6-F_2	3-	CH_2O	5-CH_3	
558	CH_3	2-Cl,6-F	3-	CH_2O	3,5-Cl_2	
559	CH_3	2,6-F_2	3-	CH_2O	3,5-Cl_2	
560	CH_3	2-Cl,6-F	3-	CH_2O	5-Cl,3-CF_3	
561	CH_3	2,6-F_2	3-	CH_2O	5-Cl,3-CF_3	
562	CH_3	2-Cl,6-F	3-	CH_2O	6-Cl,5-CF_3	

Table 23

Compound No.	R^1	Xn	Substitution position	A	R^2m	Melting point (°C) or refractive index (n_D^{20})
563	CH_3	2,6-F_2	3-	CH_2O	6-Cl,5-CF_3	
564	CH_3	2-Cl,6-F	3-	CH_2O	6-Cl,4-CF_3	
565	CH_3	2,6-F_2	3-	CH_2O	6-Cl,4-CF_3	
566	CH_3	2-Cl,6-F	3-	O	3,5-Cl_2	
567	CH_3	2,6-F_2	3-	O	3,5-Cl_2	
568	CH_3	2-Cl,6-F	4-	CH_2O	5-Cl	
569	CH_3	2,6-F_2	4-	CH_2O	5-Cl	
570	CH_3	2-Cl,6-F	4-	CH_2O	6-Cl	
571	CH_3	2,6-F_2	4-	CH_2O	6-Cl	
572	CH_3	2-Cl,6-F	4-	CH_2O	4-CH_3	
573	CH_3	2,6-F_2	4-	CH_2O	4-CH_3	
574	CH_3	2-Cl,6-F	4-	CH_2O	5-CH_3	
575	CH_3	2,6-F_2	4-	CH_2O	5-CH_3	
576	CH_3	2-Cl,6-F	4-	CH_2O	6-CH_3	
577	CH_3	2,6-F_2	4-	CH_2O	6-CH_3	
578	CH_3	2-Cl,6-F	4-	CH_2O	3-CF_3	
579	CH_3	2,6-F_2	4-	CH_2O	3-CF_3	
580	CH_3	2-Cl,6-F	4-	CH_2O	4-CF_3	
581	CH_3	2,6-F_2	4-	CH_2O	4-CF_3	
582	CH_3	2-Cl,6-F	4-	CH_2O	6-CF_3	
583	CH_3	2,6-F_2	4-	CH_2O	6-CF_3	
584	CH_3	2-Cl	4-	CH_2O	3,5-Cl_2	
585	CH_3	2-Cl,6-F	4-	CH_2O	3,5-Cl_2	
586	CH_3	2,6-F_2	4-	CH_2O	3,5-Cl_2	
587	CH_3	2,6-Cl_2	4-	CH_2O	3,5-Cl_2	
588	CH_3	2-Cl	4-	CH_2O	5-Cl,3-CF_3	
589	CH_3	2-Cl,6-F	4-	CH_2O	5-Cl,3-CF_3	
590	CH_3	2,6-F_2	4-	CH_2O	5-Cl,3-CF_3	
591	CH_3	2,6-Cl_2	4-	CH_2O	5-Cl,3-CF_3	

Table 24

Compound No.	R^1	Xn	Substitution position	A	R^2m	Melting point (°C) or refractive index (n_D^{20})
592	CH_3	2-Cl	4-	CH_2O	3-Cl,5-CF_3	
593	CH_3	2,6-Cl_2	4-	CH_2O	3-Cl,5-CF_3	
594	CH_3	2-Cl	4-	CH_2O	3,5-$(CF_3)_2$	
595	CH_3	2-Cl,6-F	4-	CH_2O	3,5-$(CF_3)_2$	
596	CH_3	2,6-F_2	4-	CH_2O	3,5-$(CF_3)_2$	
597	CH_3	2,6-Cl_2	4-	CH_2O	3,5-$(CF_3)_2$	
598	CH_3	2-Cl	4-	CH_2O	6-Cl,5-CF_3	
599	CH_3	2-Cl,6-F	4-	CH_2O	6-Cl,5-CF_3	
600	CH_3	2,6-F_2	4-	CH_2O	6-Cl,5-CF_3	
601	CH_3	2,6-Cl_2	4-	CH_2O	6-Cl,5-CF_3	
602	CH_3	2-Cl	4-	CH_2O	4,5-$(CF_3)_2$	
603	CH_3	2-Cl,6-F	4-	CH_2O	4,5-$(CF_3)_2$	
604	CH_3	2,6-F_2	4-	CH_2O	4,5-$(CF_3)_2$	
605	CH_3	2,6-Cl_2	4-	CH_2O	4,5-$(CF_3)_2$	
606	CH_3	2-Cl	4-	CH_2O	6-Cl,4-CF_3	
607	CH_3	2-Cl,6-F	4-	CH_2O	6-Cl,4-CF_3	
608	CH_3	2,6-F_2	4-	CH_2O	6-Cl,4-CF_3	
609	CH_3	2,6-Cl_2	4-	CH_2O	6-Cl,4-CF_3	
610	CH_3	2-Cl	4-	CH_2O	4,6-$(CF_3)_2$	
611	CH_3	2-Cl,6-F	4-	CH_2O	4,6-$(CF_3)_2$	
612	CH_3	2,6-F_2	4-	CH_2O	4,6-$(CF_3)_2$	
613	CH_3	2,6-Cl_2	4-	CH_2O	4,6-$(CF_3)_2$	
614	CH_3	2-Cl	4-	CH_2O	6-CH_3,4-CF_3	
615	CH_3	2-Cl,6-F	4-	CH_2O	6-CH_3,4-CF_3	
616	CH_3	2,6-F_2	4-	CH_2O	6-CH_3,4-CF_3	
617	CH_3	2,6-Cl_2	4-	CH_2O	6-CH_3,4-CF_3	
618	CH_3	2-Cl,6-F	4-	CH_2CH_2O	3-Cl,5-CF_3	
619	CH_3	2,6-F_2	4-	CH_2CH_2O	3-Cl,5-CF_3	
620	CH_3	2-Cl,6-F	4-	CH_2CH_2O	3,5-$(CF_3)_2$	

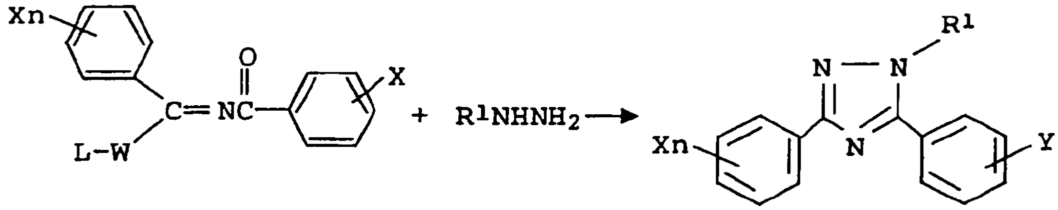
Table 25

Compound No.	R^1	Xn	Substitution position	A	R^2m	Melting point (°C) or refractive index (n_D^{20})
621	CH_3	2,6-F_2	4-	CH_2CH_2O	3,5-$(CF_3)_2$	
622	CH_3	2-Cl,6-F	4-	CH_2CH_2O	6-Cl,5-CF_3	
623	CH_3	2,6-F_2	4-	CH_2CH_2O	6-Cl,5-CF_3	
624	CH_3	2-Cl,6-F	4-	CH_2CH_2O	5-Cl,3-CF_3	
625	CH_3	2,6-F_2	4-	CH_2CH_2O	5-Cl,3-CF_3	
626	CH_3	2-Cl,6-F	4-	CH_2CH_2O	4,5-$(CF_3)_2$	
627	CH_3	2,6-F_2	4-	CH_2CH_2O	4,5-$(CF_3)_2$	
628	CH_3	2-Cl,6-F	4-	CH_2CH_2O	6-Cl,4-CF_3	
629	CH_3	2,6-F_2	4-	CH_2CH_2O	6-Cl,4-CF_3	
630	CH_3	2-Cl,6-F	4-	CH_2CH_2O	4,6-$(CF_3)_2$	
631	CH_3	2,6-F_2	4-	CH_2CH_2O	4,6-$(CF_3)_2$	
632	CH_3	2-Cl,6-F	4-	CH_2CH_2O	6-CH_3,4-CF_3	
633	CH_3	2,6-F_2	4-	CH_2CH_2O	6-CH_3,4-CF_3	
634	CH_3	2-Cl,6-F	4-	CH_2CH_2O	3,5-Cl_2	
635	CH_3	2,6-F_2	4-	CH_2CH_2O	3,5-Cl_2	
636	CH_3	2-Cl,6-F	4-	S	3,5-Cl_2	
637	CH_3	2,6-F_2	4-	S	3,5-Cl_2	
638	CH_3	2-Cl,6-F	4-	S	5-Cl,3-CF_3	
639	CH_3	2,6-F_2	4-	S	5-Cl,3-CF_3	
640	CH_3	2-Cl,6-F	4-	S	3,5-$(CF_3)_2$	
641	CH_3	2,6-F_2	4-	S	3,5-$(CF_3)_2$	
642	CH_3	2-Cl,6-F	4-	S	6-Cl,5-CF_3	
643	CH_3	2,6-F_2	4-	S	6-Cl,5-CF_3	
644	CH_3	2-Cl,6-F	4-	S	4,5-$(CF_3)_2$	
645	CH_3	2,6-F_2	4-	S	4,5-$(CF_3)_2$	
646	CH_3	2-Cl,6-F	4-	S	4,6-$(CF_3)_2$	
647	CH_3	2,6-F_2	4-	S	4,6-$(CF_3)_2$	

The compounds according to the invention can be produced by the following methods. However, it is not intended to restrict the invention to these methods.

Production Method A

The compound of the general formula [I] according to the invention can be obtained by reacting an alkyl N-acyl(thio) imidate derivative of a general formula [II] with a hydrazine derivative of a general formula [III] in an inert solvent according to the following reaction formula (1):



[II] [III] [I]

(wherein W is a sulfur atom or an oxygen atom, L is an alkyl group having a carbon number of 1-4 and R^1, X, n and Y have the same meaning as mentioned above).

As the solvent, use may be made of any solvent not obstruction the reaction, which includes, for example, an alcohol such as methanol, ethanol or the like; an ether such as diethyl ether, tetrahydrofuran, dioxane, diglyme or the like; an aromatic hydrocarbon such as benzene, toluene, chlorobenzene or the like; an aliphatic hydrocarbon such as pentane, hexane, petroleum ether or the like; a halogenated hydrocarbon such as dichloromethane, dichloroethane, chloroform, carbon tetrachloride or the like; a nitrile such as acetonitrile or the like; an aprotic polar solvent such as N,N-dimethylformamide, N,N-dimethylacetamide, dimethylsulfoxide or the like; water and a mixture thereof.

In general, the compound of the general formula [III] is used in an amount of 1.0-5.0 moles per 1 mole of the compound of the general formula [II].

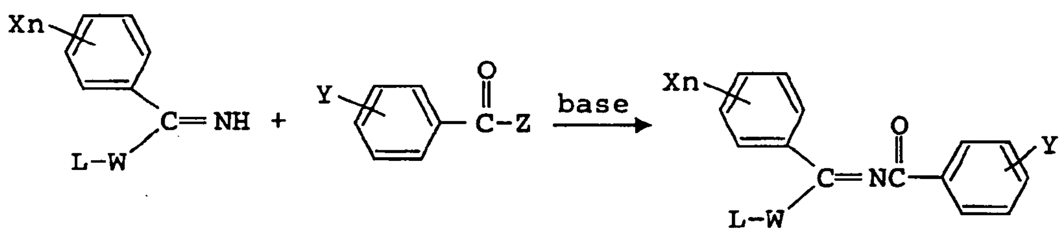
The reaction temperature is optional within a range of 0°C to a boiling point of the solvent, but is preferably 0°C-50°C. The reaction time is dependent upon the kind of compounds used, but is usually 1-72 hours.

A concrete example of this reaction is disclosed, for example, in Synthesis, page 483 (1983).

The compound of the general formula [II] as a starting material can be produced by the following method.

Production Method B

The compound of the general formula [II] can be obtained by reacting compounds of general formulae [IV] and [V] in an inert solvent in the presence of a base according to the following reaction formula (2):



[IV] [V] [II]

(wherein a derivative of the general formula [IV] may be an acid addition salt (e.g. a salt with boron tetrafluoride, hydrogen chloride, hydrogen bromide, hydrogen iodide or the like), Z is a halogen atom, and L, W, X, n and Y have the same meaning as mentioned above).

As the base, use may be made of an inorganic base such as sodium carbonate, potassium carbonate, sodium hydrogen carbonate, sodium hydroxide, potassium hydroxide or the like; and an organic base such as diethylamine, triethylamine, diisopropylethylamine, pyridine, 4-N,N-dimethylamino pyridine or the like.

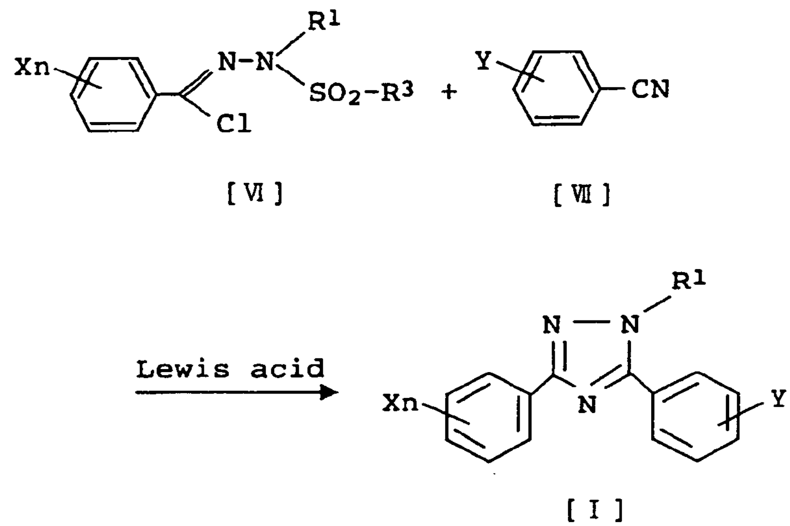
As the solvent, use may be made of a ketone such as acetone, methyl ethyl ketone or the like; an ether such as diethyl ether, tetrahydrofuran, dioxane, diglyme or the like; an aromatic hydrocarbon such as benzene, toluene, chlorobenzene or the like; an aliphatic hydrocarbon such as pentane, hexane, petroleum ether or the like; a halogenated hydrocarbon such as dichloromethane, dichloroethane, chloroform, carbon tetrachloride or the like; a nitrile such as acetonitrile or the like; an aprotic polar solvent such as N,N-dimethylformamide, N,N-dimethylacetamide, dimethylsulfoxide or the like; and a mixture thereof.

In general, the compound of the general formula [V] is used in an amount of 0.8-1.3 moles per 1 mole of the compound of the general formula [IV]. The amount of the base used is 1.0-2.0 moles per 1 mole of the compound of the general formula [IV].

The reaction time is dependent upon the kind of the compounds used, but is usually within a range of 1-24 hours. The reaction temperature is within a range of 0°C to a boiling point of the solvent.

Production Method C

The compound of the general formula [I] according to the invention can be obtained by reacting an N-(phenylsulfonyl) benzohydrazonoyl chloride derivative of a general formula [VI] with a benzonitrile derivative of a general formula [VII] in an inert solvent in the presence of Lewis acid according to the following reaction formula (3):



(wherein R^1, X, n and Y have the same meaning as mentioned above, and R^3 is benzene or benzene substituted with an alkyl group having a carbon number of 1-4).

As the solvent, use may be made of any solvent not obstruction the reaction, which includes, for example, an ether such as diethyl ether, tetrahydrofuran, dioxane, diglyme or the like; an aromatic hydrocarbon such as benzene, toluene, chlorobenzene, dichlorobenzene or the like; an aliphatic hydrocarbon such as pentane, hexane, petroleum ether or the like; a halogenated hydrocarbon such as dichloromethane, dichloroethane, chloroform, carbon tetrachloride or the like; a non-protonic polar solvent such as nitrobenzene, N,N-dimethylformamide, N,N-dimethylacetamide, dimethylsulfoxide or the like; and a mixture thereof.

As the Lewis acid, use may be made of aluminum bromide, aluminium chloride, ferric chloride, boron trifluoride, titanium tetrachloride and the like.

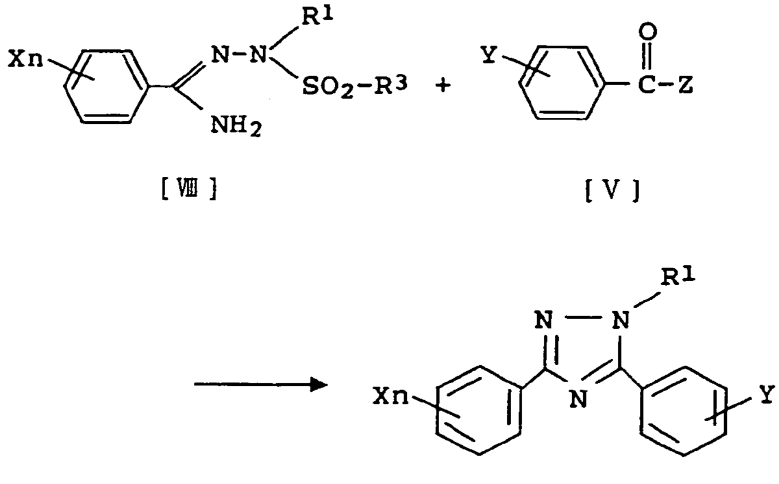
In general, the amount of the compound of the general formula [VII] used is 1.0-2.0 moles per 1 mole of the compound of the general formula [VI], and the amount of Lewis acid used is 1.0-2.0 moles per 1 mole of the compound of the general formula [VI].

The reaction temperature is optionally within a range of 0°C to a boiling point of the solvent, but is preferably within a range of 50-180°C. The reaction time is dependent upon the kind of the compounds used, but is usually within a range of 15 minutes to 8 hours.

A concrete example of this reaction is disclosed, for example, in BULLETIN of the CHEMICAL SOCIETY of JAPAN, vol. 56, pages 545~548 (1983).

Production Method D

The compound of the general formula [I] according to the invention can be obtained by reacting an N-(phenylsulfonyl) benzamidrazone derivative of a general formula [VIII] with a benzoylhalide derivative of the general formula [V] in the absence of a solvent or in an inert solvent according to the following reaction formula (4):



[Ⅷ] [V] [I]

(wherein R[1], R[3], X, n, Y and Z have the same meaning as mentioned above).

As the solvent, use may be made of any solvent not obstruction the reaction, which includes, for example, an ether such as diethyl ether, tetrahydrofuran, dioxane, diglyme or the like; an aromatic hydrocarbon such as benzene, toluene, chlorobenzene or the like; an aliphatic hydrocarbon such as pentane, hexane, petroleum ether or the like; a halogenated hydrocarbon such as dichloromethane, dichloroethane, chloroform, carbon tetrachloride or the like; an aprotic polar solvent such as N,N-dimethylformamide, N,N-dimethylacetamide, dimethylsulfoxide, 1-methyl-2-pyrolidinone or the like; and a mixture thereof.

In general, the amount of the compound of the general formula [V] used is 1.0-2.0 moles per 1 mole of the compound of the general formula [VIII].

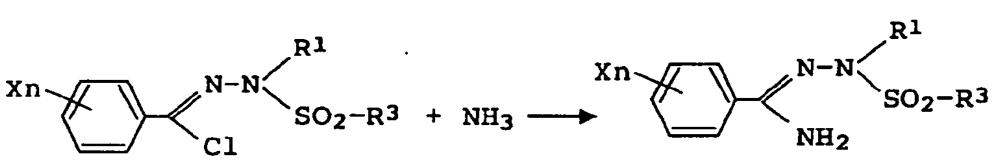
The reaction temperature is optionally within a range of 0°C to a boiling point of the solvent, but is preferably within a range of 50-250°C. The reaction time is dependent upon the kind of the compounds used, but is usually within a range of 30 minutes to 5 hours.

A concrete example of this reaction is disclosed, for example, in Bulletin of the Chemical Society of Japan, vol. 56, page 548 (1983).

The compound of the general formula [VIII] as a starting material can be produced by the following method.

Production Method E

The compound of the general formula [VIII] can be obtained by reacting the compound of the general formula [VI] with ammonia gas in an inert solvent according to the following reaction formula (5):



[VI] [Ⅷ]

(wherein R[1], R[3], X and n have the same meaning as mentioned above).

As the solvent, use may be made of any solvent not obstruction the reaction, which includes, for example, an ether such as diethyl ether, tetrahydrofuran, dioxane, diglyme or the like; an aromatic hydrocarbon such as benzene, toluene, chlorobenzene or the like; an aliphatic hydrocarbon such as pentane, hexane, petroleum ether or the like; a halogenated hydrocarbon such as dichloromethane, dichloroethane, chloroform, carbon tetrachloride, diclorobenzene or the like; an aprotic polar solvent such as N,N-dimethylformamide, N,N-dimethylacetamide, dimethylsulfoxide or the like; and a mixture thereof.

In general, the amount of ammonia gas used is 5.0-10.0 moles per 1 mole of the compound of the general formula [VI].

The reaction temperature is optionally within a range of 0°C to a boiling point of the solvent, but is preferably within a range of 20-150°C. The reaction time is dependent upon the kind of the compounds used, but is usually within a range of 1-24 hours.

A concrete example of this reaction is disclosed, for example, in BULLETIN of the CHEMICAL SOCIETY of JAPAN, vol. 56, pages 545~548 (1983).

The invention will be described concretely with reference to the following production examples, formulation examples and applications.

Production Example 1: 3-(2-chloro-6-fluorophenyl)-1-methyl-5-(4-octylphenyl)-1H-1,2,4-triazole (Compound No. 15)

In 100 ml of toluene were dissolved 2.20 g of ethyl 2-chloro-6-fluorobenzimidate and 1.10 g of triethylamine, to which was added dropwise 2.53 g of 4-octylbenzoyl chloride within a temperature range of 5-10°C with stirring and then stirred at room temperature for 1 hour and further refluxed under heating for 2 hours. After the cooling to room temperature, the resulting reaction solution was added with 100 ml of toluene, washed with a diluted hydrochloric acid and further with a saline solution, and thereafter the resulting toluene layer was dried over anhydrous magnesium sulfate.

The toluene layer was added with 3.00 g of monomethylhydrazine and stirred at room temperature for 8 hours. After the completion of the reaction, the reaction mixture was washed with a diluted hydrochloric acid solution and further with a saturated saline solution, dried over anhydrous magnesium sulfate and concentrated under a reduced pressure. The resulting concentrate was purified through a chromatography of silica gel column using a mixed solution of hexane and ethyl acetate as a developing solvent to obtain 1.34 g of the given compound (n_D^{20} = 1.5652).

NMR data (60 MHz, $CDCl_3$ solvent, δ value)

0.77	(3H, t)
1.00-1.79	(12H, m)
2.57	(2H, t)
3.95	(3H, s)
6.83-7.67	(7H, m)

Production Example 2: 3-(2-chlorophenyl)-1-methyl-5-[4-(6-methylhexyl)phenyl]-1H-1,2,4-triazole (Compound No. 67)

A mixture of 2.06 g of N-methyl-N-phenylsulfonyl-2-chlorobenzohydrazonoyl chloride, 1.30 g of 4-(6-methylhexyl) benzonitrile, 0.93 g of anhydrous aluminum chloride and 5 ml of o-dichlorobenzene was stirred in an oil bath at a temperature of 140°C for 30 minutes. After the cooling, the resulting solution was dissolved in 200 ml of chloroform, washed with diluted hydrochloric acid solution, diluted sodium hydroxide aqueous solution and saline water in this order, dried over anhydrous magnesium sulfate and concentrated under a reduced pressure. The resulting concentrate was purified through a chromatography of silica gel column using a mixed solution of hexane and ethyl acetate as a developing solvent to obtain 1.52 g of the given compound (melting point: 64.0-67.0°C).

NMR data (60 MHz, $CDCl_3$ solvent, δ value)

0.86	(6H, d)
1.15-1.80	(7H, m)
2.67	(2H, t)
4.00	(3H, s)
7.17-8.00	(8H, m)

Production Example 3: 3-(2-chlorophenyl)-1-methyl-5-(4-tridecylphenyl)-1H-1,2,4-triazole (Compound No. 42)

A mixture of 0.82 g of N-methyl-N-phenylsulfonyl-2-chlorobenzohydrazonoyl chloride, 0.70 g of 4-tridecylbenzonitrile, 0.4 g of anhydrous aluminium chloride and 3 ml of o-dichlorobenzene was stirred in an oil bath at a temperature of 140°C for 30 minutes. After the cooling, the resulting solution was dissolved in 100 ml of chloroform, washed with diluted hydrochloric acid solution, diluted sodium hydroxide solution and saline water in this order, dried over anhydrous magnesium sulfate and concentrated under a reduced pressure. The resulting concentrate was purified through a chromatography of silica gel column using a mixed solution of hexane and ethyl acetate as a developing solvent to obtain 0.70 g of the given compound (melting point: 55.0-

57.0°C).
NMR data (60 MHz, $CDCl_3$ solvent, δ value)

0.67-1.80	(25H, m)
2.67	(2H, t)
4.00	(3H, s)
7.16-8.03	(8H, m)

Production Example 4: 3-(2-chlorophenyl)-1-methyl-5-(4-pentadecylphenyl)-1H-1,2,4-triazole (Compound No. 50)

A mixture of 3.24 g of N-methyl-N-phenylsulfonyl-2-chlorobenzamidrazone and 3.50 g of 4-pentadecylbenzoyl chloride was stirred in an oil bath at a temperature of 170-180°C for 4 hours. After the cooling, the resulting solution was added with water and extracted with ethyl acetate (200 ml x 2) and the extracted organic layer was washed with saline water, dried over anhydrous magnesium sulfate and concentrated under a reduced pressure. The resulting concentrate was purified through a chromatography of silica gel column using a mixed solution of hexane and ethyl acetate as a developing solvent and washed with n-hexane to obtain 0.34 g of the given compound (melting point: 62.0-65.0°C).
NMR data (60 MHz, $CDCl_3$ solvent, δ value)

0.77-1.73	(29H, m)
1.67	(2H, m)
4.00	(3H, s)
7.17-7.97	(8H, m)

Production Example 5: 5-(4-decyloxyphenyl)-3-(2,6-dichlorophenyl)-1-methyl-1H-1,2,4-triazole (Compound No. 85)

A mixture of 1.10 g of N-methyl-N-phenylsulfonyl-2,6-dichlorobenzohydrazonoyl chloride, 0.70 g of 4-decyloxybenzonitrile, 0.4 g of anhydrous aluminium chloride and 3 ml of o-dichlorobenzene was stirred in an oil bath at a temperature of 140°C for 30 minutes. After the cooling, the resulting solution was dissolved in 100 ml of chloroform, washed with diluted hydrochloric acid solution, diluted sodium hydroxide solution and saline water in this order, dried over anhydrous magnesium sulfate and concentrated under a reduced pressure. The resulting concentrate was purified through a chromatography of silica gel column using a mixed solution of hexane and ethyl acetate as a developing solvent to obtain 0.40 g of the given compound (melting point: 60.0-64.0°C).
NMR data (60 MHz, $CDCl_3$ solvent, δ value)

0.77-1.90	(19H, m)
3.98	(2H, t)
4.04	(3H, s)
6.88-7.73	(7H, m)

Production Example 6: 3-(2-chloro-6-fluorophenyl)-5-[4-(3-chloro-5-trifluoromethylpyridin-2-yloxy)phenyl]-1-methyl-1H-1,2,4-triazole (Compound No. 429)

A mixture of 1.30 g of N-methyl-N-phenylsulfonyl-2-chloro-6-fluorobenzohydrazonoyl chloride, 1.00 g of 4-(3-chloro-5-trifluoromethylpyridin-2-yloxy)-benzonitrile, 0.50 g of anhydrous aluminum chloride and 3 ml of o-dichlorobenzene was stirred in an oil bath at a temperature of 140°C for 30 minutes. After the cooling, the resulting solution was dissolved in 100 ml of chloroform, washed with diluted hydrochloric acid solution, diluted sodium hydroxide solution and saline water in this order, dried over anhydrous magnesium sulfate and concentrated under a reduced pressure. The resulting concentrate was purified through a chromatography of silica gel column using a mixed solution of hexane and ethyl acetate as a developing solvent to obtain 0.70 g of the given compound (measurement of n_D^{20} was impossible).
NMR data (60 MHz, $CDCl_3$ solvent, δ value)

4.07	(3H, s)
6.75-8.58	(9H, m)

Production Example 7: N-methyl-N-phenylsulfonyl-2-chlorobenzamidrazone

In 100 ml of N,N-dimethylformamide was dissolved 17.2 g of N-methyl-N-phenylsulfonyl-2-chlorobenzhy-

drazonoyl chloride, which was stirred at 60-70°C for 3 hours while introducing ammonia gas thereinto. After the cooling, the reaction solution was dissolved in 500 ml of ethyl acetate, washed with water, dried on anhydrous magnesium sulfate and concentrated under a reduced pressure. The resulting crystal was washed with n-hexane to obtain 15.4 g of the given compound (melting point: 94.0-96.0°C).

NMR data (60 MHz, $CDCl_3$ solvent, δ value)

2.75	(3H, s)
5.80	(2H, s)
7.10-8.00	(9H, m)

The insecticide and acaricide according to the invention contain the triazole derivative represented by the general formula (I) as an active ingredient.

When the triazole compounds according to the invention are used as an active ingredient for insecticides and acaricides, these compounds themselves may be used alone, or may be compounded with a carrier, a surfactant, a dispersing agent, an adjuvant or the like usually used in the formulation to form dusts, wettable powder, emulsion, fine powder, granulates or the like.

As the carrier used in the formulation, mention may be made of a solid carrier such as zeeklite, talc, bentonite, clay, kaolin, diatomaceous earth, white carbon, vermiculite, calcium hydroxide, quartz sand, ammonium sulfate, urea or the like; and a liquid carrier such as isopropyl alcohol, xylene, cyclohexane, methylnaphthalene or the like.

As the surfactant and dispersing agent, mention may be made of a metal salt of alkylbenzene sulfonic acid, a metal salt of dinaphtylmethane disulfonic acid, a sulfuric acid ester of alcohol, alkylarylsulfonate, lignin sulfonate, polyoxyethylene glycol ether, polyoxyethylene alkylaryl ether, polyoxyethylene sorbitan monoalkylate and the like.

As the adjuvant, mention may be made of carboxymethylcellulose, polyethylene glycol, gum arabi and the like.

In use, the compound according to the invention is directly applied or sprayed by diluting to a proper concentration.

The insecticide and acaricide according to the invention may be used by spraying onto stem and leaves, by applying to soil, by applying to a nursery box, by spraying onto water surface or the like.

In the formulation, the amount of the active ingredient used may be selected in accordance with the use purpose, but it is properly selected within a range of 0.05-20% by weight, preferably 0.1-10% by weight in case of the dusts or granules. In case of the emulsion or wettable powder, the amount of the active ingredient is properly selected within a range of 0.5-80% by weight, preferably 1-60% by weight.

The amount of the insecticide and acaricide applied is dependent upon the kind of the compound used as an active ingredient, injurious insect to be controlled, tendency and degree of insect injury, environmental condition, kind of formulation used and the like. When the insecticide and acaricide according to the invention are directly used as dusts or granules, the amount of the active ingredient is properly selected within a range of 0.05 g - 5 kg, preferably 0.1-1 kg per 10 are. Furthermore, when they are used in form of a liquid as emulsion or wettable powder, the amount of the active ingredient is properly selected within a range of 0.1-5000 ppm, preferably 1-1000 ppm.

Moreover, the insecticide and acaricide according to the invention may be used by mixing with other insecticide, fungicide, fertilizer, plant growth regulator and the like.

The formulation will concretely be described with respect to typical examples. In this case, the kind of the compounds and additives and the compounding ratio are not limited to these examples and may be varied within wide ranges. Moreover, % is by weight otherwise specified.

Formulation Example 1: Emulsion

An emulsion was prepared by uniformly dissolving 30% of compound No. 55, 20% of cyclohexanone, 11% of polyoxyethylene alkylaryl ether, 4% of calcium alkylbenzenesulfonate and 35% of methylnaphthalene.

Formulation Example 2: Wettable powder

A wettable powder was prepared by uniformly mixing and pulverizing 40% of compound No. 38, 15% of diatomaceous earth, 15% of clay, 25% of white carbon, 2% of sodium dinaphthylmethane disulfonate and 3% of sodium lignin sulfonate.

Formulation Example 3: Dust

A dust was prepared by uniformly mixing and pulverizing 2% of compound No. 120, 5% of diatomaceous earth and 93% of clay.

Formulation Example 4: Granules

A mixture of 5% of compound No. 71, 2% of sodium salt of lauryl alcohol sulfuric acid ester, 5% of sodium lignin sulfonate, 2% of carboxymethyl cellulose and 86% of clay was uniformly pulverized and added with 20 parts by kneaded, shaped into granules of 14-32 mesh through an extrusion type granulating machine and dried to form granules.

The triazole derivatives according to the invention are effective to control planthoppers such as brown planthopper, white-backed planthopper, small brown planthopper and the like; leafhoppers such as green rice leafhopper, tea green leafhopper and the like; aphids such as cotton aphid, green peach aphid, cabbage aphid and the like; whiteflies such as greenhouse whitefly and the like; hemipteran injurious insects such as mulberry scale, corbett rice bug and the like; lepidopteran injurious insects such as diamond-back moth, lima-bean cutworm, tobacco cutworm and the like; dipteran injurious insects such as house maggot, mosquito and the like; elytron injurious insects such as rice plant weevil, soy bean weevil, cucurbit leaf beetle and the like; orthopteran injurious insects such as american cockroach, steam fly and the like; mites such as two-spotted spider mite, kanzawa spider mite, citrus red mite and the like; and mites having an increased resistance to organotin, synthesized pyrethroid and organophosphorus chemicals.

Particularly, they develop a very excellent effect of controlling mites such as two-spotted spider mite, kanzawa spider mite, citrus red mite and the like.

The effect of the compounds according to the invention will be described with respect to the following test examples. Moreover, the following compounds were used as a comparative chemical, wherein a comparative chemical a is a compound described in Japanese Patent laid open No. 56-154464, and a comparative chemical b is a commercial product usually used for the control of mites.

Comparative chemical A: 3,5-bis(o-chlorophenyl)-1-methyl-1H-1,2,4-triazole

Comparative chemical B: Hexythiazox (common name)

Test Example 1: Insecticidal test for diamond-back moth

The wettable powder prepared according to Formulation Example 2 was diluted with water so that the concentration of the active ingredient was 500 ppm. Cabbage leaves were immersed in the resulting diluted solution, dried in air and then placed in a vinyl chloride cup of 60 ml capacity. Ten larvae of 3rd instar diamondback moth were released in the cup and thereafter a cover was placed thereon. Then, the cup was placed in a thermostatic chamber of 25°C for 6 days, and the number of larvae died was counted to calculate the percentage of mortality. The test was carried out by double series. Moreover, the comparative chemical A was used for the comparison. The results are shown in Table 26.

Table 26

Compound No.	Mortality (%)	Compound No.	Mortality (%)
17	90	479	100
30	100	483	100
37	90	494	100
43	95	500	100
71	95	504	95
120	95	510	100
135	90	514	100
140	95	520	100
185	100	524	90
207	90	526	100
217	100	528	100
240	100	530	100
244	100	532	100
427	100	Comparative chemical A	20
471	95		

Test Example 2: Insecticidal test for larvae of cotton aphid

The wettable powder prepared according to Formulation Example 2 was diluted with water so that the concentration of the active ingredient was 100 ppm. In the resulting diluted solution were immersed cucumber seedlings previously inoculated with larvae of cotton aphid and then subjected to a drying treatment in air. After the treatment, the cucumber seedlings were placed in a thermostatic chamber of 25°C for 3 days and then the number of larvae died was counted to calculate the percentage of mortality. The test was carried out by double series. The results are shown in Table 27.

Table 27

Compound No.	Mortality (%)
3	100
7	100
13	100
14	100
15	100
17	100
19	100
30	100
35	100
39	100
47	100
51	100
68	100
69	100
71	100
73	100
81	100
84	100
87	100
89	100
96	100
97	100
100	100
101	100
103	100
104	100
105	100
106	100
107	100
108	100

Compound No.	Mortality (%)
109	100
111	100
112	100
113	100
114	100
117	100
118	100
119	100
127	100
131	100
135	100
140	100
144	100
146	100
148	100
149	100
150	100
151	100
152	100
153	100
163	100
190	100
194	100
195	100
220	100
223	100
224	100
225	100
228	100

Compound No.	Mortality (%)
229	100
234	100
235	100
239	100
240	100
247	100
425	100
427	100
434	100
435	100
447	100
450	100
451	100
452	100
468	100
469	100
475	100
490	100
494	100
503	100
504	100
510	100
516	100
518	100
520	100
522	100
528	100
530	100
532	100

Test Example 3: Ovicidal test for eggs of two-spotted spider mite

Female adults of two-spotted spider mite were placed on three leaf discs of kidney bean (diameter: 15 mm) and oviposited over 24 hours, and thereafter these adults were removed therefrom. The wettable powder prepared according to Formulation Example 2 was diluted with water so that the concentration of the active ingredient was 0.16 ppm. In the resulting diluted solution were immersed these leaf discs for 10 seconds. After the treatment, the leaf discs were placed in a thermostatic chamber of 25°C for 7 days and then the number of unhatched eggs was counted to calculate the percentage of ovicidal activity. The test was carried out by double series. Moreover, the comparative chemicals A and B were used for the comparison. The results are shown in Table 28.

Table 28

Compound No.	Ovicidal activity (%)
21	100
30	100
34	100
35	100
38	100
39	95
42	100
43	95
47	100
50	100
51	100
54	100
55	100
Comparative chemical A	24
Comparative chemical B	95

Test Example 4: Ovicidal test for eggs of chemical-resistant kanzawa spider mite

Female adults of kanzawa spider mite having a resistance to commercially available chemicals were placed on three leaf discs of kidney bean (diameter: 15 mm) and oviposited over 2 days, and thereafter these adults were removed therefrom. The wettable powder prepared according to Formulation Example 2 was diluted with water so that the concentration of the active ingredient was 4 ppm. In the resulting diluted solution were immersed these leaf discs for 10 seconds. After the treatment, the leaf discs were placed in a thermostatic chamber of 25°C for 7 days and then the number of unhatched eggs was counted to calculate the percentage of ovicidal activity. The test was carried out by double series. Moreover, the comparative chemicals A and B were used for the comparison. The results are shown in Table 29 and Table 30.

Table 29

Compound No.	Ovicidal activity (%)	Compound No.	Ovicidal activity (%)
3	100	81	100
6	100	83	100
13	100	84	90
14	100	88	100
15	100	89	100
30	100	106	100
33	100	110	100
34	100	111	95
35	100	112	100
36	100	117	100
37	100	118	100
38	100	140	100
39	100	148	100
40	100	151	100
41	100	153	100
42	100	166	100
43	100	167	100
44	100	168	100
46	90	183	100
47	100	191	100
48	100	192	100
51	100	193	100
52	95	204	100
53	90	205	100
55	100	206	100
56	100	217	100
57	90	223	100

Table 30

Compound No.	Ovicidal activity (%)	Compound No.	Ovicidal activity (%)
225	100	473	100
232	100	475	100
235	100	477	100
239	100	479	100
240	100	481	100
247	100	483	100
425	100	487	100
426	100	489	100
427	100	494	100
428	100	498	100
429	100	500	100
433	100	501	100
434	100	503	100
437	100	504	100
444	100	510	100
445	100	514	100
447	100	516	100
450	100	518	100
451	100	520	100
452	100	522	100
454	100	524	100
461	100	526	100
465	100	530	100
466	100	532	100
468	100	Comparative chemical A	31
469	100		
471	100	Comparative chemical B	0

Test Example 5: Insecticidal test for larvae of chemical-resistant kanzawa spider mite

Female adults of kanzawa spider mite having a resistance to commercially available chemicals were placed on three leaf discs of kidney bean (diameter: 15 mm) and oviposited over 2 days, and thereafter these adults were removed therefrom. Then, these leaf discs were placed in a thermostatic chamber of 25°C for 5 days and the number of hatched larvae was counted. Separately, the wettable powder prepared according to Formulation Example 2 was diluted with water so that the concentration of the active ingredient was 20 ppm. After these leaf discs were sprayed with the resulting diluted solution, they were placed in a thermostatic chamber of 25°C for 7 days and then the number of living adults was counted to calculate the percentage of mortality on the hatched larvae. The test was carried out by double series. Moreover, the comparative chemicals A and B were used for the comparison. The results are shown in Table 31.

Table 31

Compound No.	Mortality (%)	Compound No.	Mortality (%)
3	100	41	100
13	100	42	100
14	100	43	100
15	100	44	100
16	100	45	100
17	100	46	100
18	100	47	100
21	100	48	100
30	100	49	100
31	100	50	100
32	100	51	100
34	100	52	100
35	100	53	100
36	100	55	100
37	100	56	100
38	100	Comparative chemical A	55
39	100		
40	100	Comparative chemical B	25

Test Example 6: Ovicidal test for eggs of citrus red mite

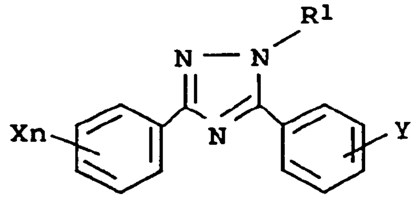
Female adults of citrus red mite were placed on two laminae of citrus fruit (diameter: 10 mm) and oviposited over 2 days, and thereafter these adults were removed therefrom. The wettable powder prepared according to Formulation Example 2 was diluted with water so that the concentration of the active ingredient was 4 ppm. In the resulting diluted solution were immersed these laminae for 10 seconds. After the treatment, the laminae were placed in a thermostatic chamber of 25°C for 7 days and then the number of unhatched eggs was counted to calculate the percentage of ovidcidal activity. The test was carried out by double series. Moreover, the comparative chemicals A and B were used for the comparison. The results are shown in Table 32.

Table 32

Compound No.	Ovicidal activity (%)
3	95
16	90
17	100
18	100
21	95
30	100
31	100
32	100
34	100
35	100
36	95
37	100
38	100
39	100
40	90
43	100
44	100
47	95
48	100
52	100
97	95
106	100
Comparative chemical A	33
Comparative chemical B	90

Claims

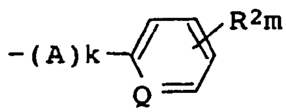
1. A triazole derivative having the following general formula [I]:



[I]

[wherein R^1 is an alkyl group, X is a hydrogen atom, a halogen atom, an alkyl group, an alkoxy group, an alkylthio group, a nitro group, a cyano group or trifluoromethyl group, n is an integer of 1-5 provided that when n is 2 or more, X may be an optional combination of same or different atoms or groups, and Y is an alkenyl group, an alkynyl group, an alkoxyalkyl group, an alkoxyalkoxy group, an alkylthioalkyl group, a cycloalkyl group, a cycloalkylalkoxy group, a cycloalkylalkyl group, a cycloalkylalkenyl group, a cycloalk-

ylalkynyl group, a trialkylsilylalkyl group, a trialkylsilylalkoxy group, an alkyl group having a carbon number of not less than 7, an alkoxy group having a carbon number of not less than 7, an alkylthio group having a carbon number of not less than 7, an alkylsulfinyl group having a carbon number of not less than 7, an alkylsulfonyl group having a carbon number of not less than 7 or a group represented by the following general formula (1):



(wherein A is an oxygen atom, a sulfur atom, a lower alkylene group, a lower alkyleneoxy group, an oxy-lower alkylene group or a lower alkyleneoxyalkylene group, k is 0 or 1, Q is CH- group or a nitrogen atom, R^2 is a hydrogen atom, a halogen atom, an alkyl group, an alkoxy group, trifluoromethyl group or trifluoromethoxy group, m is an integer of 1-5 provided that when m is 2 or more, R^2 may be an optional combination of same or different atoms or groups)].

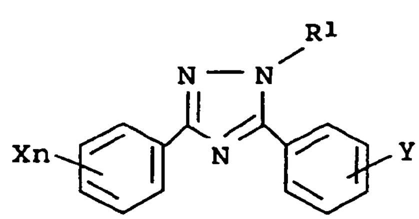
2. A triazole derivative according to claim 1, wherein said R^1 is a straight or branched-chain alkyl group having a carbon number of 1-6, X is a hydrogen atom, a halogen atom, a straight or branched-chain alkyl group having a carbon number of 1-4, a nitro group, a cyano group or trifluoromethyl group, n is an integer of 1-3 provided that when n is 2 or 3, X may be an optional combination of same or different atoms or groups, Y is a straight or branched-chain alkyl group having a carbon number of 7-20, a cycloalkyl group having a carbon number of 3-12, a cycloalkylalkyl group having a carbon number of 6-12, a straight or branched-chain alkoxy group having a carbon number of 7-16, a cycloalkylalkoxy group having carbon number of 7-12, a straight or branched-chain alkylthio group having a carbon number of 7-16, an alkylsulfinyl group, an alkylsulfonyl group, a straight or branched-chain alkenyl group having a carbon number of 3-16, a cycloalkylalkenyl group having a carbon number of 5-12, a straight or branched-chain alkynyl group having a carbon number of 3-16, a cycloalkylalkynyl group having a carbon number of 5-12, a tri(lower alkyl)silyl lower alkyl group, a tri(lower alkyl)silyl lower alkoxy group or a group represented by said formula (1) (wherein A is an oxygen atom, a sulfur atom, a lower alkylene group having a carbon number of 1-4, methyleneoxy group or oxymethylene group, k is 0 or 1, Q is CH- group or a nitrogen atom, R^2 is a hydrogen atom, a halogen atom, a lower alkyl group, a lower alkoxy group, trifluoromethyl group or trifluoromethoxy group, and m is an integer of 1-3 provided that when m is 2 or 3, R^2 may be an optional combination of same or different atoms or groups).

3. A triazole derivative according to claim 2, wherein said R^1 is methyl group.

4. An insecticide containing a triazole derivative claimed in claim 1 as an active ingredient.

5. An acaricide containing a triazole derivative claimed in claim 1 as an active ingredient.

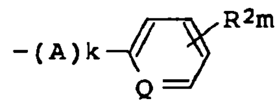
6. A method of producing a triazole derivative having the following general formula [I]:



[I]

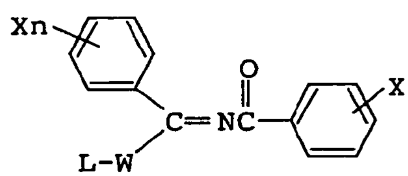
[wherein R^1 is an alkyl group, X is a hydrogen atom, a halogen atom, an alkyl group, an alkoxy group, an alkylthio group, a nitro group, a cyano group or trifluoromethyl group, n is an integer of 1-5 provided that when n is 2 or more, X may be an optional combination of same or different atoms or groups, and Y is an alkenyl group, an alkynyl group, an alkoxyalkyl group, an alkoxyalkoxy group, an alkylthioalkyl group, a

cycloalkyl group, a cycloalkylalkoxy group, a cycloalkylalkyl group, a cycloalkylalkenyl group, a cycloalkylalkynyl group, a trialkylsilylalkyl group, a trialkylsilylalkoxy group, an alkyl group having a carbon number of not less than 7, an alkoxy group having a carbon number of not less than 7, an alkylthio group having a carbon number of not less than 7, an alkylsulfinyl group having a carbon number of not less than 7, an alkylsulfonyl group having a carbon number of not less than 7 or a group represented by the following general formula (1):



(1)

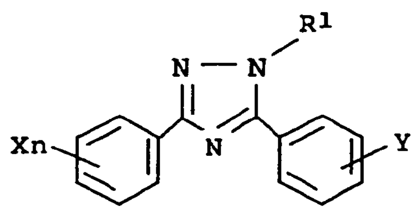
(wherein A is an oxygen atom, a sulfur atom, a lower alkylene group, a lower alkyleneoxy group, an oxy-lower alkylene group or a lower alkyleneoxyalkylene group, k is 0 or 1, Q is CH- group or a nitrogen atom, R^2 is a hydrogen atom, a halogen atom, an alkyl group, an alkoxy group, trifluoromethyl group or trifluoromethoxy group, m is an integer of 1-5 provided that when m is 2 or more, R^2 may be an optional combination of same or different atoms or groups)], which comprises reacting a compound represented by the following general formula [II]:



[II]

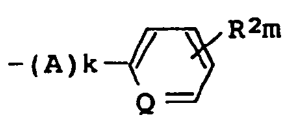
(wherein W is a sulfur atom or an oxygen atom, L is an alkyl group having a carbon number of 1-4 and X, n and Y have the same meaning as mentioned above) with a hydrazine derivative represented by a general formula [III] of R^1NHNH_2 (wherein R^1 has the same meaning as mentioned above).

7. A method of producing a triazole derivative having the following general formula [I]:



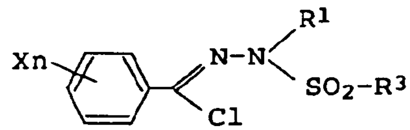
[I]

[wherein R^1 is an alkyl group, X is a hydrogen atom, a halogen atom, an alkyl group, an alkoxy group, an alkylthio group, a nitro group, a cyano group or trifluoromethyl group, n is an integer of 1-5 provided that when n is 2 or more, X may be an optional combination of same or different atoms or groups, and Y is an alkenyl group, an alkynyl group, an alkoxyalkyl group, an alkoxyalkoxy group, an alkylthioalkyl group, a cycloalkyl group, a cycloalkylalkoxy group, a cycloalkylalkyl group, a cycloalkylalkenyl group, a cycloalkylalkynyl group, a trialkylsilylalkyl group, a trialkylsilylalkoxy group, an alkyl group having a carbon number of not less than 7, an alkoxy group having a carbon number of not less than 7, an alkylthio group having a carbon number of not less than 7, an alkylfulfinyl group having a carbon number of not less than 7, an alkylsulfonyl group having a carbon number of not less than 7 or a group represented by the following general formula (1):



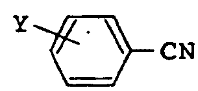
(1)

(wherein A is an oxygen atom, a sulfur atom, a lower alkylene group, a lower alkyleneoxy group, an oxy-lower alkylene group or a lower alkyleneoxyalkylene group, k is 0 or 1, Q is CH- group or a nitrogen atom, R^2 is a hydrogen atom, a halogen atom, an alkyl group, an alkoxy group, trifluoromethyl group or trifluoromethoxy group, m is an integer of 1-5 provided that when m is 2 or more R^2 may be an optional combination of same or different atoms or groups)], which comprises reacting a compound represented by the following general formula [VI]:



[VI]

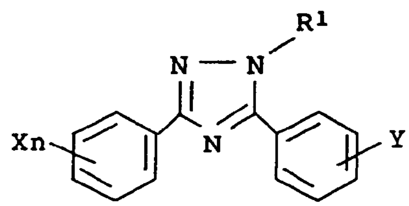
(wherein R^1, X and n have the same meaning as mentioned above, and R^3 is benzene or benzene substituted with an alkyl group having a carbon number of 1-4) with a benzonitrile derivative represented by the following general formula [VII]:



[VII]

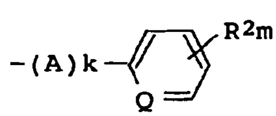
(wherein Y has the same meaning as mentioned above) in the presence of Lewis acid.

8. A method of producing a triazole derivative having the following general formula [I]:



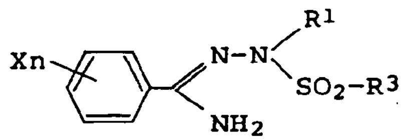
[I]

[wherein R^1 is an alkyl group, X is a hydrogen atom, a halogen atom, an alkyl group, an alkoxy group, an alkylthio group, a nitro group, a cyano group or trifluoromethyl group, n is an integer of 1-5 provided that when n is 2 or more, X may be an optional combination of same or different atoms or groups, and Y is an alkenyl group, an alkynyl group, an alkoxyalkyl group, an alkoxyalkoxy group, an alkylthioalkyl group, a cycloalkyl group, a cycloalkylalkoxy group, a cycloalkylalkyl group, a cycloalkylalkenyl group, a cycloalkylalkynyl group, a trialkylsilylalkyl group, a trialkylsilylalkoxy group, an alkyl group having a carbon number of not less than 7, an alkoxy group having a carbon number of not less than 7, an alkylthio group having a carbon number of not less than 7, an alkylsulfinyl group having a carbon number of not less than 7, an alkylsulfonyl group having a carbon number of not less than 7 or a group represented by the following general formula (1):



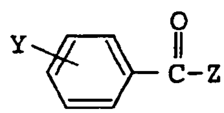
(1)

(wherein A is an oxygen atom, a sulfur atom, a lower alkylene group, a lower alkyleneoxy group, an oxy-lower alkylene group or a lower alkyleneoxyalkylene group, k is 0 or 1, Q is CH- group or a nitrogen atom, R^2 is a hydrogen atom, a halogen atom, an alkyl group, an alkoxy group, trifluoromethyl group or trifluoromethoxy group, m is an integer of 1-5 provided that when m is 2 or more, R^2 may be an optional combination of same or different atoms or groups)], which comprises reacting a compound represented by the following general formula [VIII]:



[VIII]

(wherein R^1, X and n have the same meaning as mentioned above, and R^3 is benzene or benzene substituted with an alkyl group having a carbon number of 1-4) with a compound represented by the following general formula [V]:



[V]

(wherein Z is a halogen atom and Y has the same meaning as mentioned above).

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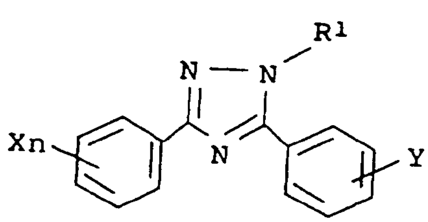
(54) **Novel 3,5-diphenyl substituted 1,2,4-triazoles and their use as insecticides and acaricides.**

(57) A novel triazole derivative for use in an insecticide or an acaricide has a general formula [I] :



[I]

(wherein R^1 is an alkyl group, X is a hydrogen atom, a halogen atom, an alkyl group or the like, n is an integer of 1-5, Y is an alkenyl group, an alkynyl group, an alkoxyalkyl group or the like) and controls various injurious insects and mites, particularly mites and aphids without damaging crops.

EP 0 572 142 A3

European Patent Office

EUROPEAN SEARCH REPORT

Application Number
EP 93 30 3700

DOCUMENTS CONSIDERED TO BE RELEVANT

Category	Citation of document with indication, where appropriate, of relevant passages	Relevant to claim	CLASSIFICATION OF THE APPLICATION (Int.Cl.5)
D,X	EP-A-0 036 711 (FBC LIMITED) * the whole document * ---	1-8	C07D249/08 A01N43/82 C07D401/12 C07F7/10 A01N55/00
X	EP-A-0 185 256 (F. HOFFMANN-LA ROCHE & CO.) * the whole document * -----	1-8	

TECHNICAL FIELDS SEARCHED (Int.Cl.5)
C07D

The present search report has been drawn up for all claims

Place of search	Date of completion of the search	Examiner
THE HAGUE	25 January 1994	Allard, M

CATEGORY OF CITED DOCUMENTS

X : particularly relevant if taken alone
Y : particularly relevant if combined with another document of the same category
A : technological background
O : non-written disclosure
P : intermediate document

T : theory or principle underlying the invention
E : earlier patent document, but published on, or after the filing date
D : document cited in the application
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& : member of the same patent family, corresponding document